

09/838,286

=>

Uploading 09838286.str

L5        STRUCTURE UPLOADED

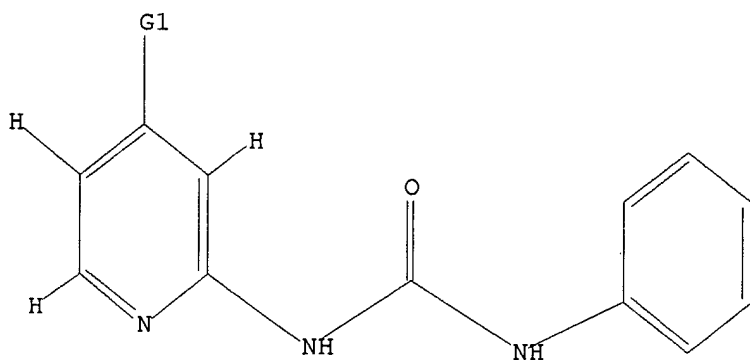
=> d

L5 HAS NO ANSWERS

L5        STR

1

Ak



G1 H, [01]

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SUBSTANCE QUERIES NOT VALID IN THIS FILE

SUBSTANCE QUERIES NOT VALID IN THIS FILE

The logic expression entered contains L#s or saved query names which correspond to structures built by the STRUCTURE command or to screen sets. These must be searched in a substance file such as the REGISTRY file. In some files you may use a Registry Number answer set from a structure search as a search term or profile in some bibliographic file containing Registry Numbers, e.g. the CA file. For an explanation, enter "HELP CROSSOVER" at an arrow prompt (=>).

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

30.78

179.94

FILE 'REGISTRY' ENTERED AT 12:32:03 ON 02 APR 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 American Chemical Society (ACS)

09/838,286

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7  
DICTIONARY FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> s l5

SAMPLE SEARCH INITIATED 12:32:07 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 320 TO ITERATE

100.0% PROCESSED 320 ITERATIONS 19 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 5327 TO 7473  
PROJECTED ANSWERS: 119 TO 641

L6 19 SEA SSS SAM L5

=> d scanb

'SCANB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN  
SAM - Index Name, MF, and structure - no RN  
FIDE - All substance data, except sequence data  
IDE - FIDE, but only 50 names  
SQIDE - IDE, plus sequence data  
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used  
SQD - Protein sequence data, includes RN  
SQD3 - Same as SQD, but 3-letter amino acid codes are used  
SQN - Protein sequence name information, includes RN  
  
CALC - Table of calculated properties  
EPROP - Table of experimental properties  
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract

09/838,286

APPS -- Application and Priority Information  
BIB -- CA Accession Number, plus Bibliographic Data  
CAN -- CA Accession Number  
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)  
IND -- Index Data  
IPC -- International Patent Classification  
PATS -- PI, SO  
STD -- BIB, IPC, and NCL

IABS --ABS, indented, with text labels  
IBIB -- BIB, indented, with text labels  
ISTD -- STD format, indented

OBIB ----- AN, plus Bibliographic Data (original)  
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations  
SIBIB ----- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.

The MAX format is the same as ALL.

The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.  
HELP FORMATS -- To see detailed descriptions of the predefined formats.  
ENTER DISPLAY FORMAT (IDE):scan  
'SCAN' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN  
SAM - Index Name, MF, and structure - no RN  
FIDE - All substance data, except sequence data  
IDE - FIDE, but only 50 names  
SQIDE - IDE, plus sequence data  
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used  
SQD - Protein sequence data, includes RN  
SQD3 - Same as SQD, but 3-letter amino acid codes are used  
SQN - Protein sequence name information, includes RN  
  
CALC - Table of calculated properties  
EPROP - Table of experimental properties  
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract  
APPS -- Application and Priority Information  
BIB -- CA Accession Number, plus Bibliographic Data

09/838,286

CAN -- CA Accession Number  
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)  
IND -- Index Data  
IPC -- International Patent Classification  
PATS -- PI, SO  
STD -- BIB, IPC, and NCL

IABS --ABS, indented, with text labels  
IBIB -- BIB, indented, with text labels  
ISTD -- STD format, indented

OBIB ----- AN, plus Bibliographic Data (original)  
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations  
SIBIB ----- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.

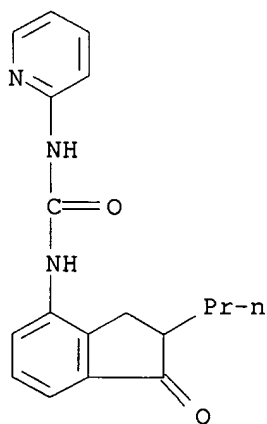
The MAX format is the same as ALL.

The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.  
HELP FORMATS -- To see detailed descriptions of the predefined formats.  
ENTER DISPLAY FORMAT (IDE):.

L6 ANSWER 1 OF 19 REGISTRY COPYRIGHT 2003 ACS  
RN 445431-46-9 REGISTRY  
CN Urea, N-(2,3-dihydro-1-oxo-2-propyl-1H-inden-4-yl)-N'-2-pyridinyl- (9CI)  
(CA INDEX NAME)  
FS 3D CONCORD  
MF C18 H19 N3 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



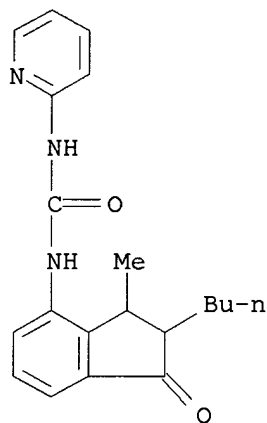
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

09/838,286

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

=> d scan

L6 19 ANSWERS REGISTRY COPYRIGHT 2003 ACS  
IN Urea, N-(2-butyl-2,3-dihydro-3-methyl-1-oxo-1H-inden-4-yl)-N'-2-pyridinyl-  
(9CI)  
MF C20 H23 N3 O2



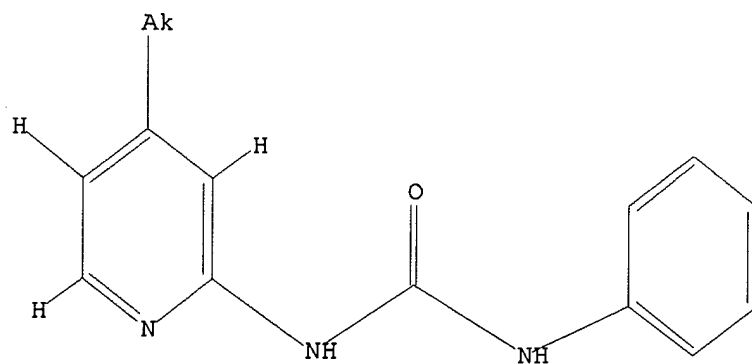
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>  
Uploading 09838286.str

L7 STRUCTURE UPLOADED

=> d  
L7 HAS NO ANSWERS  
L7 STR



G1 H

09/838,286

Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 12:34:43 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 320 TO ITERATE

100.0% PROCESSED 320 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 5327 TO 7473  
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 ful

FULL SEARCH INITIATED 12:34:58 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 6322 TO ITERATE

100.0% PROCESSED 6322 ITERATIONS 39 ANSWERS  
SEARCH TIME: 00.00.01

L9 39 SEA SSS FUL L7

=> file caplus,uspatful

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	151.43	331.37

FILE 'CAPLUS' ENTERED AT 12:35:15 ON 02 APR 2003  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 12:35:15 ON 02 APR 2003  
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 19

L10 29 L9

=> dup rem l10

PROCESSING COMPLETED FOR L10

L11 26 DUP REM L10 (3 DUPLICATES REMOVED)

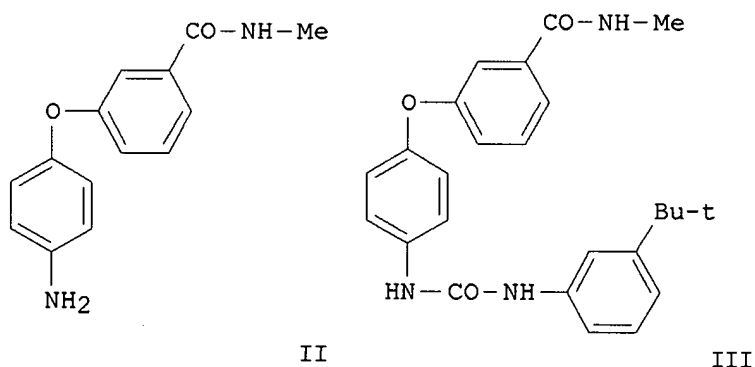
=> d 1-26 bib,abs,hitstr

L11 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1  
AN 2002:850357 CAPLUS  
DN 137:352907  
TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf  
kinase for the treatment of tumors and/or cancerous cell growth  
IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley  
N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,  
Timothy B.; Scott, William J.; Smith, Roger A.  
PA Bayer Corporation, USA  
SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548.  
CODEN: USXXCO  
DT Patent

09/838,286

LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002165394	A1	20021107	US 2001-777920	20010207
	US 2002137774	A1	20020926	US 2001-907970	20010719
	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1999-115877P	P	19990113		
	US 1999-257266	B2	19990225		
	US 1999-425228	B2	19991022		
	US 2001-758548	A2	20010112		
	US 2001-777920	A	20010207		
OS	MAREPAT 137:352907				
GI					



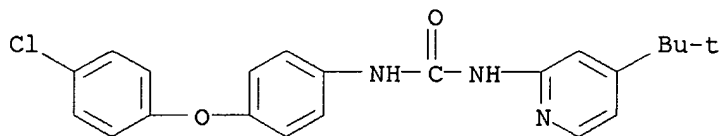
AB Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un)substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepd. For example, coupling of aniline II, e.g., prepd. from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC50 values ranging from 10 nM-10 μM. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

IT **432050-20-9P**, N-(4-tert-Butylpyridyl)-N'-(4-(4-chlorophenoxy)phenyl) Urea  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-20-9 CAPLUS

CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]-  
(9CI) (CA INDEX NAME)



L11 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 2  
AN 2002:409267 CAPLUS  
DN 137:6098  
TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors  
IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;  
Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger,  
Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
PA Bayer Corporation, USA  
SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U. S. Ser. No. 778,039.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002065296	A1	20020530	US 2001-838286	20010420
	WO 2002085859	A1	20021031	WO 2002-US12064	20020417
	W:				AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
	RW:				GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI	US 1999-115878P	P	19990113		
	US 1999-257265	B1	19990225		
	US 1999-425229	A2	19991022		
	US 2001-778039	A2	20010207		
	US 2001-838286	A	20010420		

OS MARPAT 137:6098

AB This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea) contg. N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinolyl or isoquinolyl group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 50 C atoms with a cyclic structure bound directly to N, contg. at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of prepn. are not claimed, 37 example prepn. are included as well as examples of prepn. of intermediates. No pharmacol. data is included.



IT 432050-17-4P 432050-18-5P 432050-20-9P

432050-30-1P, N-(4-tert-Butyl-2-pyridinyl)-N'-(4-methylphenyl)urea

432050-31-2P, N-(4-tert-Butyl-2-pyridinyl)-N'-(4-fluorophenyl)urea

432050-32-3P, N-(4-tert-Butyl-2-pyridinyl)-N'-(1-naphthyl)urea

432050-33-4P, N-(4-tert-Butyl-2-pyridinyl)-N'-[4-(4-methoxyphenoxy)phenyl]urea 432050-41-4P, N-(4-tert-Butyl-2-pyridyl)-N'-(4-(4-methylphenoxy)phenyl)urea 432050-42-5P,

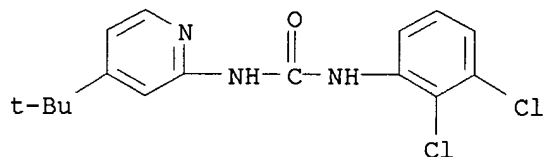
N-(4-tert-Butyl-2-pyridyl)-N'-(4-(4-pyridyloxy)phenyl)urea

432050-43-6P, N-(4-tert-Butyl-2-pyridyl)-N'-(4-(4-pyridinylthio)phenyl)urea 432050-44-7P, N-(4-tert-Butyl-2-pyridyl)-N'-(3-(4-pyridinylthio)phenyl)urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

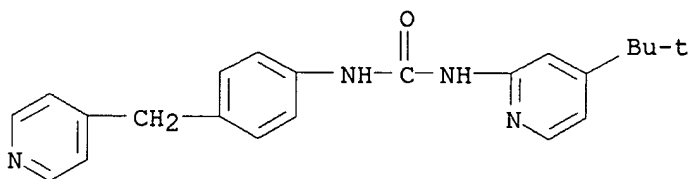
(prepn. of heteroaryl ureas contg. nitrogen hetero-atoms as p38 kinase inhibitors)

RN 432050-17-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]- (9CI)  
(CA INDEX NAME)

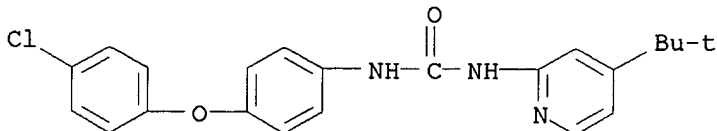
RN 432050-18-5 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 432050-20-9 CAPLUS

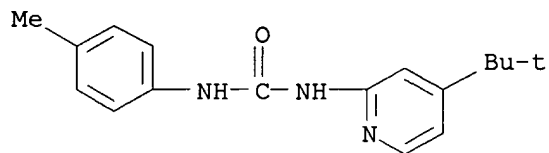
CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 432050-30-1 CAPLUS

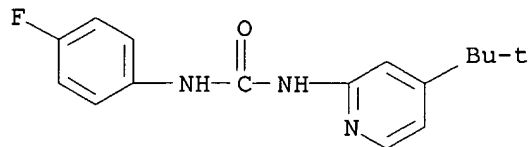
CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-(4-methylphenyl)- (9CI)  
(CA INDEX NAME)

09/838,286



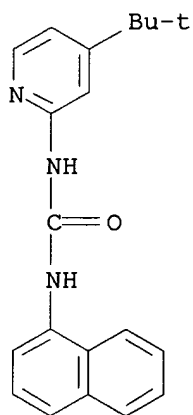
RN 432050-31-2 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-(4-fluorophenyl)- (9CI)  
(CA INDEX NAME)



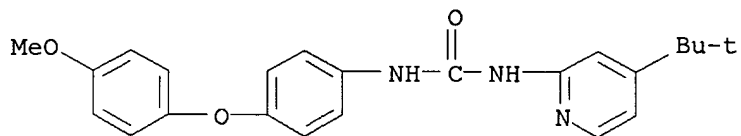
RN 432050-32-3 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-1-naphthalenyl- (9CI) (CA  
INDEX NAME)



RN 432050-33-4 CAPLUS

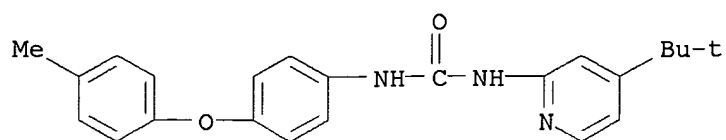
CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-methoxyphenoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 432050-41-4 CAPLUS

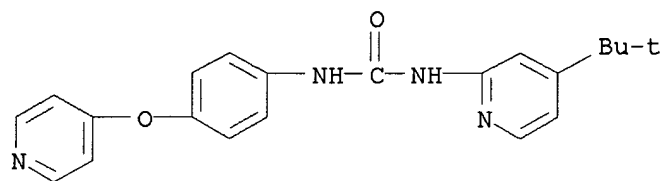
CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-methylphenoxy)phenyl]-  
(9CI) (CA INDEX NAME)

09/838,286



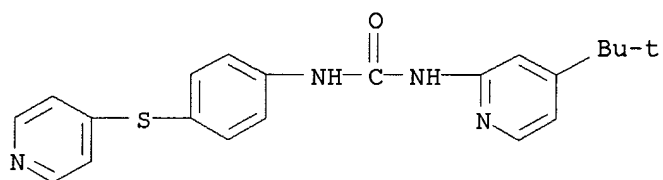
RN 432050-42-5 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinyloxy)phenyl]-  
(9CI) (CA INDEX NAME)



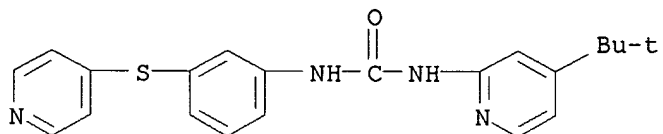
RN 432050-43-6 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylthio)phenyl]-  
(9CI) (CA INDEX NAME)



RN 432050-44-7 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[3-(4-pyridinylthio)phenyl]-  
(9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2002:832761 CAPLUS

DN 137:337791

TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

PA Bayer Corporation, USA

SO PCT Int. Appl., 65 pp.

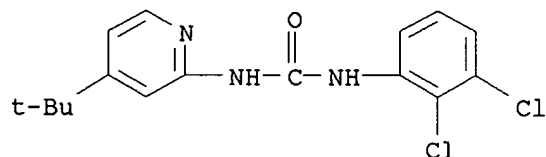
CODEN: PIXXD2

DT Patent

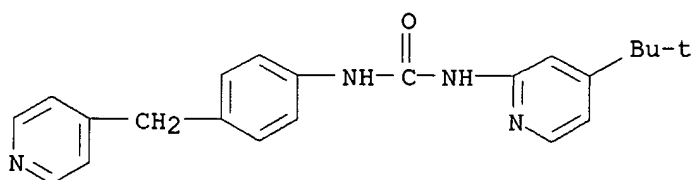
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085857	A2	20021031	WO 2002-US12066	20020418
	WO 2002085857	A3	20030116		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2001-838285	A	20010420		
OS	MARPAT 137:337791				
AB	Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl, etc.; B = (un)substituted bridged cyclic structure, etc.] and analogs were prepd. For instance, 4-tert-butyl-2-aminopyridine was coupled to 4-(4-pyridylmethyl)aniline (CH <sub>2</sub> Cl <sub>2</sub> , CDI, 0.degree.) to give N-(4-tert-butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea as a white solid. Example compds. had IC <sub>50</sub> between 10nM and 10.mu.M for raf kinase. I are useful for the treatment of cancerous cell growth mediated by raf kinase.				
IT	<b>432050-17-4P</b> , N-(4-tert-Butylpyridyl)-N'-(2,3-dichlorophenyl)urea <b>432050-18-5P</b> , N-(4-tert-Butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea <b>432050-20-9P</b> , N-(4-tert-Butylpyridyl)-N'-[4-(4-chlorophenoxy)phenyl]urea <b>432050-41-4P</b> <b>432050-42-5P 432050-43-6P 432050-44-7P</b> <b>473915-54-7P</b> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)				
RN	432050-17-4 CAPLUS				
CN	Urea, N-(2,3-dichlorophenyl)-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)				



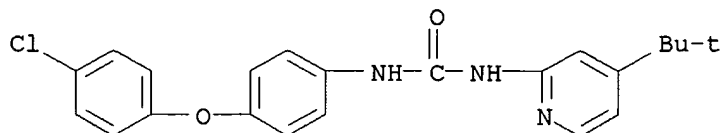
RN 432050-18-5 CAPLUS  
 CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



09/838,286

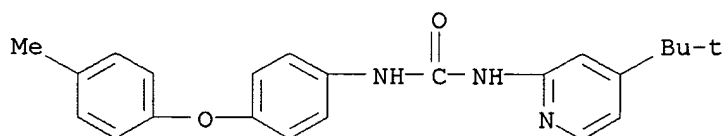
RN 432050-20-9 CAPLUS

CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]-  
(9CI) (CA INDEX NAME)



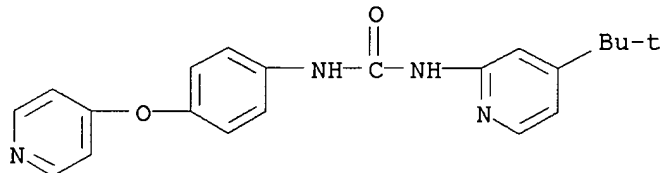
RN 432050-41-4 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-methylphenoxy)phenyl]-  
(9CI) (CA INDEX NAME)



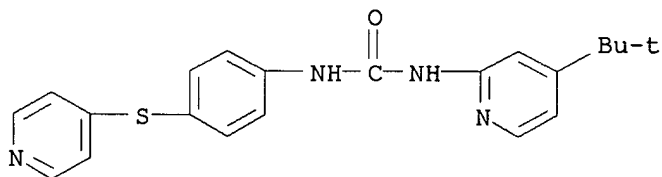
RN 432050-42-5 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinyloxy)phenyl]-  
(9CI) (CA INDEX NAME)



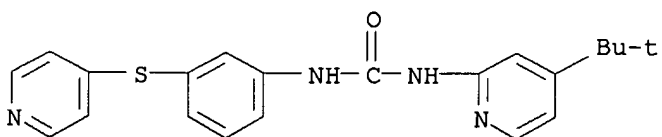
RN 432050-43-6 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[4-(4-pyridinylthio)phenyl]-  
(9CI) (CA INDEX NAME)

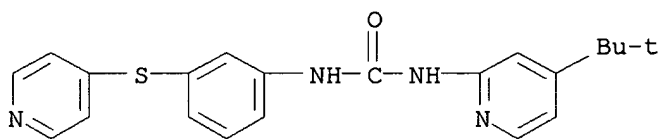


RN 432050-44-7 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-[3-(4-pyridinylthio)phenyl]-  
(9CI) (CA INDEX NAME)

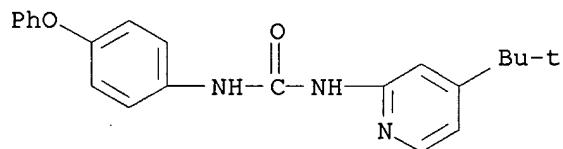


09/838,286



RN 473915-54-7 CAPLUS

CN Urea, N-[4-(1,1-dimethylethyl)-2-pyridinyl]-N'-(4-phenoxyphenyl)- (9CI)  
(CA INDEX NAME)



L11 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2002:615574 CAPLUS

DN 137:169425

TI Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.

PA Bayer Corporation, USA

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

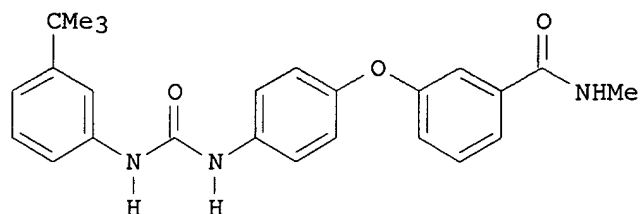
DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2002165394	A1	20021107	US 2001-777920	20010207
PRAI	US 2001-777920	A	20010207		
	US 1999-115877P	P	19990113		
	US 1999-257266	B2	19990225		
	US 1999-425228	B2	19991022		
	US 2001-758548	A2	20010112		
OS	MARPAT 137:169425				
GI					

09/838,286



II

AB Title compds., e.g., RNHCONHZOR1 [I; R = C6H4(CMe3)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R1 = (un)substituted acylphenyl, -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were prepd. Thus, 4-(H2N)C6H4OC6H4(CONHMe)-4 (prepn. given) was condensed with 3-(Me3C)C6H4NH2 and CO(OCCl3)2 to give title compd. II. Data for biol. activity of title compds. were given.

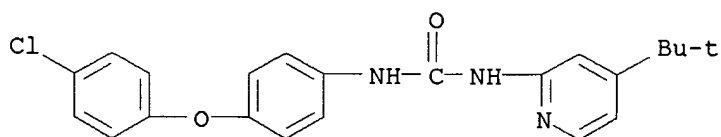
IT 432050-20-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors)

RN 432050-20-9 CAPLUS

CN Urea, N-[4-(4-chlorophenoxy)phenyl]-N'-[4-(1,1-dimethylethyl)-2-pyridinyl]-(9CI) (CA INDEX NAME)



L11 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2002:591913 CAPLUS

DN 137:150215

TI Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents

IN Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 194 pp.

CODEN: JKXXAF

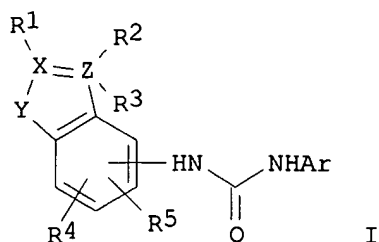
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002220338	A2	20020809	JP 2001-18755	20010126
PRAI	JP 2001-18755		20010126		
OS	MARPAT 137:150215				
GI					

09/838,286



AB This invention relates to the general structures (I; Ar = N-contg. hetero arom. ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

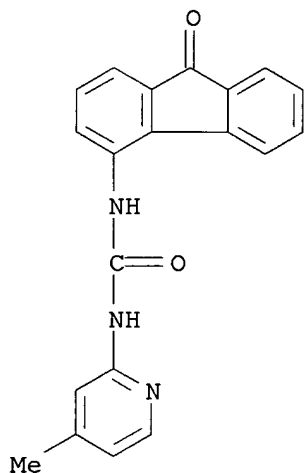
IT **322681-36-7 322685-93-8**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322681-36-7 CAPLUS

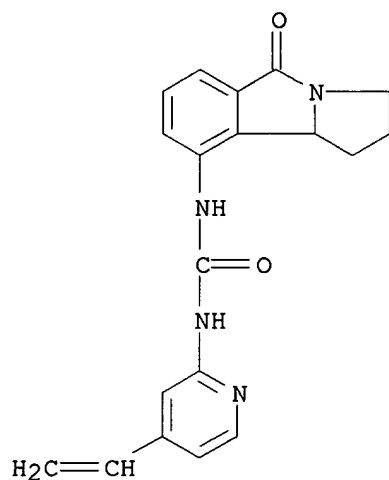
CN Urea, N-(4-methyl-2-pyridinyl)-N'-(9-oxo-9H-fluoren-4-yl)- (9CI) (CA INDEX NAME)



RN 322685-93-8 CAPLUS

CN Urea, N-(4-ethenyl-2-pyridinyl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)





L11 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2001:78363 CAPLUS

DN 134:147614

TI Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)

IN Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 460 pp.

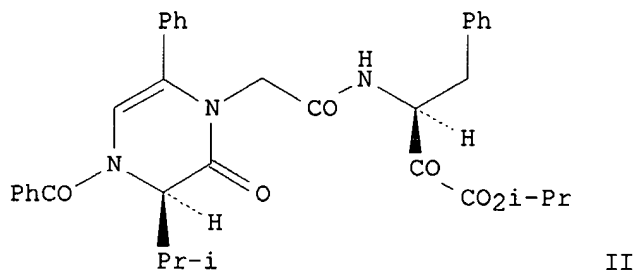
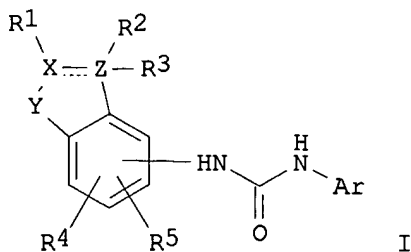
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007411	A1	20010201	WO 2000-JP4991	20000726
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 2001106673	A2	20010417	JP 2000-274175	20000726
	EP 1199306	A1	20020424	EP 2000-949909	20000726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRAI	JP 1999-211384	A	19990726		
	WO 2000-JP4991	W	20000726		
OS	MARPAT 134:147614				
GI					



AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic arom. group such as (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO<sub>2</sub>; R1 represents hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = single bond, O, S, SO, SO<sub>2</sub>, N-(un)substituted NH, SO<sub>2</sub>NH, NHSO<sub>2</sub>NH, NHSO<sub>2</sub>, CONH, NHCO, NHCONH, NHCO<sub>2</sub>, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepd. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC<sub>50</sub> of 0.061 and 0.019 .mu.M against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 .mu.M, resp., for (+-)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC<sub>50</sub> of 0.013 and 0.10 .mu.M, resp., vs. 0.15 and 0.87 .mu.M, resp., for (+-)-flavopiridol. Pharmaceutical formulations contg. I were prepd.

IT 322681-36-7P 322685-93-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

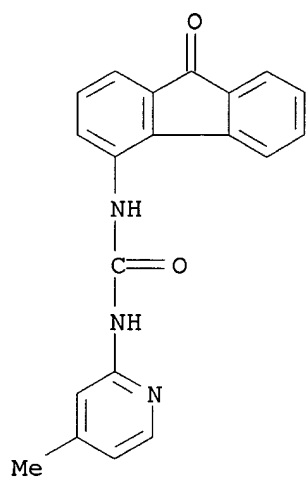
(prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)

RN 322681-36-7 CAPLUS

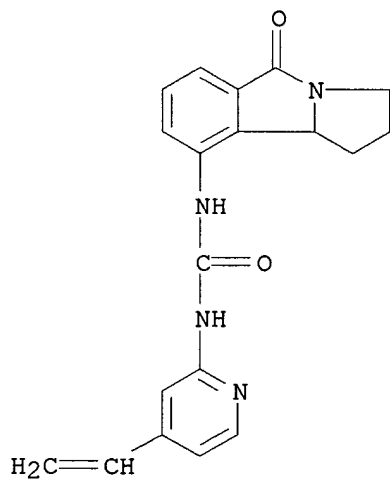
CN Urea, N-(4-methyl-2-pyridinyl)-N'-(9-oxo-9H-fluoren-4-yl)- (9CI) (CA

09/838,286

INDEX NAME)



RN 322685-93-8 CAPLUS  
CN Urea, N-(4-ethenyl-2-pyridinyl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

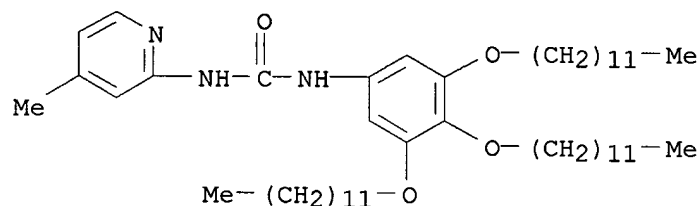


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2003 ACS  
AN 2001:719183 CAPLUS  
DN 136:37266  
TI Complexation-Induced Unfolding of Heterocyclic Ureas. Simple Foldamers  
Equilibrate with Multiply Hydrogen-Bonded Sheetlike Structures  
AU Corbin, Perry S.; Zimmerman, Steven C.; Thiessen, Paul A.; Hawryluk,  
Natalie A.; Murray, Thomas J.  
CS Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA  
SO Journal of the American Chemical Society (2001), 123(43), 10475-10488  
CODEN: JACSAT; ISSN: 0002-7863  
PB American Chemical Society

DT Journal  
 LA English  
 AB The synthesis and conformational studies of heterocyclic ureas (amides) N,N'-Di-2-pyridylurea (I), 2,7-Dipentanoylamido-1,8-naphthyridine (II), N-Butyl-N'-(1,8-naphthyridin-2-yl)urea (III), N-Butyl-N'-(4-methylpyridin-2-yl)urea (IV), 2-Pentanoylamido-1,8-naphthyridine (V), Bis-2,7-(3-(3,4,5-tridodecyloxyphenyl)uryl)-1,8-naphthyridine (VI), and N,N'-Di-((5,7-dipropyl-(1,8-naphthyridin))-2-yl)urea (VII) and their concn.-dependent unfolding to form multiply hydrogen-bonded complexes are described. Ureas I and VII were prepd. by reacting 2-aminopyridine and aminonaphthyridine, resp., with triphosgene and 4-(dimethylamino)pyridine (DMAP). Heterocyclic ureas III and IV, were prepd. by treating their corresponding amino precursors with butylisocyanate, whereas bisureido naphthyridines VI was prepd. by heating 2,7-diamino-1,8-naphthyridine (13) with butylisocyanate and 3,4,5-tridodecyloxyphenyl isocyanate, resp. The hydrogen-bonding modules II and V were synthesized. X-ray crystallog. analyses were performed on ureas I and III, indicating that these ureas are intramolecularly hydrogen-bonded in the solid state. Moreover, detailed <sup>1</sup>H NMR soln. studies of indicate that similar folded structures form in chloroform. In addn., naphthyridinylureas III and VII unfold and dimerize by forming four hydrogen bonds at high concns., and ureas I and IV unfold in the presence of their hydrogen-bonding complements, amides II and V, to form complexes with three and four hydrogen bonds, resp. Likewise, the mixing of VI and VII results in a mutual unfolding and formation of a robust, sheetlike, sextuply hydrogen-bonded complex. The hydrogen-bonding modules described are useful building blocks for self-assembly, and the unfolding process represents a very primitive mimicry of the helix-to-sheet transition shown by peptides and potentially shown by the hypothetical naphthyridinylurea.

IT **380441-59-8P**, 2-(3-(3,4,5-Trisdodecyloxyphenyl)uryl)-4-methylpyridine  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (intermediate for prepn. method; crystallog. and NMR spectroscopy studies of conformational unfolding of heterocyclic ureas)  
 RN 380441-59-8 CAPLUS  
 CN Urea, N-(4-methyl-2-pyridinyl)-N'-[3,4,5-tris(dodecyloxy)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS  
 AN 2001:920573 CAPLUS  
 DN 136:164177  
 TI Cytokinin-like activity of N'-substituted N-phenylureas  
 AU Ricci, A.; Carra, A.; Torelli, A.; Maggiali, C. A.; Vicini, P.; Zani, F.; Branca, C.  
 CS Dipartimento di Biologia Evolutiva e Funzionale, Universita di Parma, Parma, I-43100, Italy  
 SO Plant Growth Regulation (2001), 34(2), 167-172

CODEN: PGRED3; ISSN: 0167-6903

PB Kluwer Academic Publishers

DT Journal

LA English

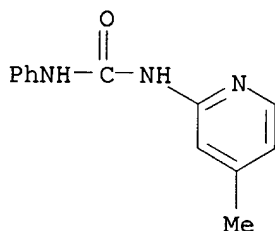
AB We have synthesized 14 N-phenylurea derivs., differing in the heterocyclic portion linked in N'-position, and tested their cytokinin-like activity. Three different bioassays were used: the chlorophyll level detn. test, the bioassay for the expression of hormone-induced chimeric Pg5-GUS gene and the tomato regeneration test, in which 1,2-benzisoxazole-3-acetic acid (BOAA) was utilized as auxin. The cytokinin-like activity showed by three of these compds. in the regeneration assay seems to be related to their different heterocyclic nature. N-phenyl-N'-1,3,4-thiadiazol-2-ylurea, an isomer of N-phenyl-N'-1,2,3-thiadiazol-5-ylurea (thidiazuron. TDZ), in the absence of auxin induces shoot regeneration in the 34,2% of the explants cultured; N-phenyl-N'-(3-chloro-1,2-benzisothiazol-7-yl) urea, structurally different from TDZ, in the absence of auxin induces shoot regeneration in the 25,9% of explants, significantly lower than that of TDZ (68,8%). N-phenyl-N'-benzothiazol-6-ylurea (I), structurally different from TDZ, in the absence of auxin induces 99,5% shoot regeneration, significantly different from that of the other substances. The addn. of auxin in the cotyledon regeneration assay reduces the differences. I could be considered a new phenylurea deriv. with a highly specific cytokinin-like activity.

IT 35466-43-4

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(cytokinin-like activity of N'-substituted N-phenylureas)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2000:513673 CAPLUS

DN 133:135235

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis,  
anti-diabetes, and anti-arthritis activities of quinolines and  
quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043366	A1	20000727	WO 2000-JP255	20000120

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

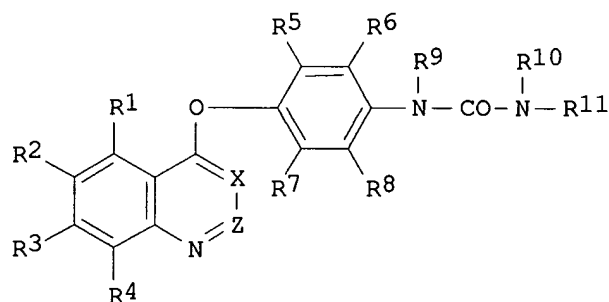
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2361057 AA 20000727 CA 2000-2361057 20000120  
 BR 2000007656 A 20011030 BR 2000-7656 20000120  
 EP 1153920 A1 20011114 EP 2000-900841 20000120

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

NO 2001002617 A 20010914 NO 2001-2617 20010529  
 PRAI JP 1999-14858 A 19990122  
 JP 1999-26691 A 19990203  
 JP 1999-142493 A 19990521  
 JP 1999-253624 A 19990907  
 WO 2000-JP255 W 20000120

OS MARPAT 133:135235  
 GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

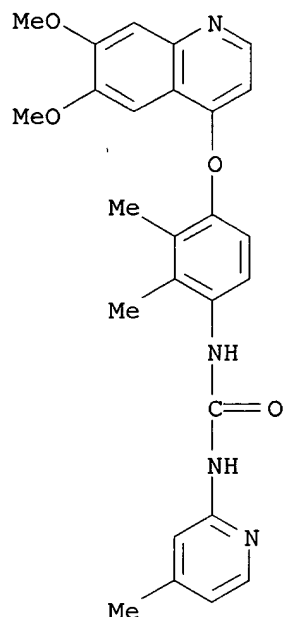
IT **286369-87-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and antitumor activity of quinolines and quinazolines)

RN 286369-87-7 CAPLUS

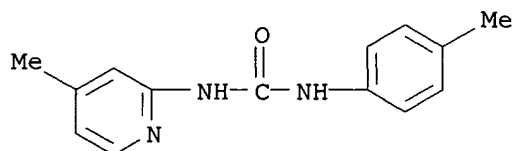
CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

09/838,286



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 26 USPATFULL  
AN 1999:63315 USPATFULL  
TI Substituted 2-acylamino-pyridines as inhibitors of nitric oxide synthase  
IN Guthikonda, Ravindra, Rahway, NJ, United States  
Hagmann, William, Rahway, NJ, United States  
Maccoss, Malcolm, Rahway, NJ, United States  
Shah, Shrenik, Rahway, NJ, United States  
Durette, Philippe, Rahway, NJ, United States  
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)  
PI US 5908842 19990601  
WO 9618617 19960620  
AI US 1997-836863 19970520 (8)  
WO 1995-US16158 19951208  
19970522 PCT 371 date  
19970522 PCT 102(e) date  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Davis, Zinna Northington  
LREP Billups, Richard C., Rose, David L., Panzer, Curtis C.  
CLMN Number of Claims: 6  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1299  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB Substituted 2-acylamino-pyridine compounds and pharmaceutically  
acceptable salts which have been found useful in the treatment of nitric  
oxide synthase mediated diseases and disorders.  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
IT **179341-92-5P**  
(prepn. as inhibitors of nitric oxide synthase)  
RN 179341-92-5 USPATFULL  
CN Urea, N-(4-methylphenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1996:467112 CAPLUS

DN 125:114503

TI Substituted 2-acylamino-pyridines as inhibitors of nitric oxide synthase

IN Guthikonda, Ravindra K.; Hagmann, William K.; Maccoss, Malcolm; Shah, Shrenik K.; Durette, Philippe L.

PA Merck and Co., Inc., USA

SO PCT Int. Appl., 79 pp.

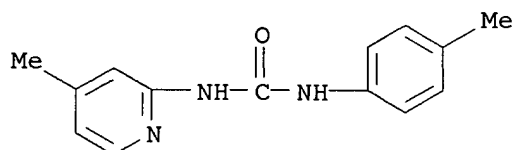
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9618617	A1	19960620	WO 1995-US16158	19951208
	W:			AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN	
	RW:			KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
	AU 9645158	A1	19960703	AU 1996-45158	19951208
	US 5908842	A	19990601	US 1997-836863	19970520
PRAI	US 1994-353859		19941212		
	WO 1995-US16158		19951208		
OS	MARPAT 125:114503				
AB	Substituted 2-acylamino-pyridine compds. and pharmaceutically acceptable salts were prepd. which were found useful in the treatment of nitric oxide synthase mediated diseases and disorders.				
IT	<b>179341-92-5P</b>				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. as inhibitors of nitric oxide synthase)				
RN	179341-92-5 CAPLUS				
CN	Urea, N-(4-methylphenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)				



L11 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1995:674340 CAPLUS

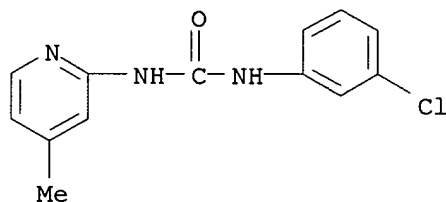
DN 123:77033

TI Effect of synthetic auxin and cytokinin on the growth of callus tissues



from *Nicotiana tabacum* CMS/81

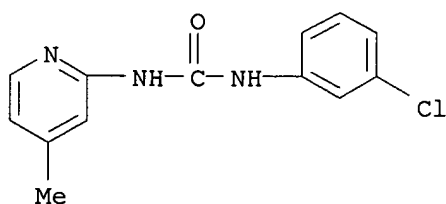
AU Yonova, P.; Zozikova, E.; Vassilev, G.; Stoynova, E.  
 CS M. Popov Institute of Plant Physiology, Bulg.  
 SO Biotechnology & Biotechnological Equipment (1995), (1), 77-80  
 CODEN: BTTEEJ  
 PB Diagnosis Press  
 DT Journal  
 LA English  
 AB The influence of new synthetic auxin hydrazide of 4-chlorophenoxyacetic acid (H-4-CPA) and cytokinin 1-(3-chlorophenyl)-3-[2-(4-methyl)pyridyl]-2-urea (3-CP-4-MPU) on the growth of callus tissues from tobacco was investigated. The substances were applied exogenously to the autoclaved nutrient medium independently and in combination at both concns.: 0.5 mg/L and 4.0 mg/L. 3-CP-4-MPU (0.5 mg/L or 1.9 .mu. M) manifested higher growth stimulating effect than kinetin (0.2 .mu. M - std. 1). Kinetin at 1.9 .mu. M equimolar to that of the used phenylurea cytokinin inhibited the growth of tobacco calli. The high concn. of 3-CP-4-MPU (4.0 mg/L or 15.2 .mu. M) strongly reduced the fresh and dry wt., therefore the phenylurea cytokinin is an inhibitor for this plant system at concn. 8-fold higher than that of kinetin. H-4-CPA increased the tobacco callus growth at both used concns. The simultaneous application of 1.9 .mu. M 3-CP-4-MPU and 2.5 .mu. M H-4-CPA to tobacco callus accelerated its growth while the higher concns. of both compds. (15.2 .mu. M 3-CP-4-MPU + 20.0 .mu. M H-4-CPA) inhibited the biomass accumulation.  
 IT **125300-55-2 165326-86-3**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (effect of synthetic auxin and cytokinin on growth of callus tissues from *Nicotiana tabacum* CMS/81)  
 RN 125300-55-2 CAPLUS  
 CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 165326-86-3 CAPLUS  
 CN Acetic acid, (4-chlorophenoxy)-, hydrazide, mixt. with  
 N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)urea (9CI) (CA INDEX NAME)

CM 1

CRN 125300-55-2  
 CMF C13 H12 Cl N3 O

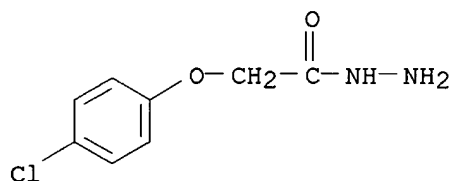


09/838,286

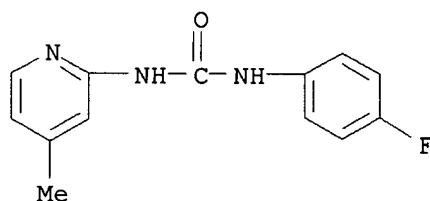
CM 2

CRN 2381-75-1

CMF C8 H9 Cl N2 O2



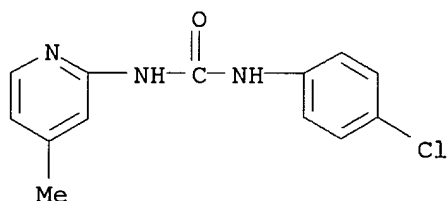
L11 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2003 ACS  
AN 1994:71433 CAPLUS  
DN 120:71433  
TI Physiological effects of ureas and thioureas. Synthesis and cytokinin activity of 1-(4-fluorophenyl)-3-pyridyl-2-ureas and thioureas  
AU Yonova, P. A.; Vassilev, G. N.  
CS Inst. Plant Physiol. 'M. Popov', Sofia, 1113, Bulg.  
SO Physiol. Biochem. Cytokinins Plants, Symp. (1992), Meeting Date 1990, 219-21. Editor(s): Kaminek, Miroslav; Mok, David W. S.; Zazimalova, Eva. Publisher: SPB Acad. Publ., The Hague, Neth. CODEN: 59KXA9  
DT Conference  
LA English  
AB The synthesis of some 1-(4-fluorophenyl)-3-pyridyl-2-ureas and thioureas and their cytokinin activity and structure-activity relationships are described. The cytokinin structure-activity relationships in this series of compds. depends on the structure of the pyridine ring. Compds. with unsubstituted pyridyl or with 4-CH3 mono-substituted-2-pyridyl rings possess considerable activity. Therefore, the movement of the Me group away from the heteroatom and from the urea (thiourea) bridge favors the manifestation of high cytokinin activity.  
IT **152359-02-9P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and cytokinin activity of, structure in relation to)  
RN 152359-02-9 CAPLUS  
CN Urea, N-(4-fluorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



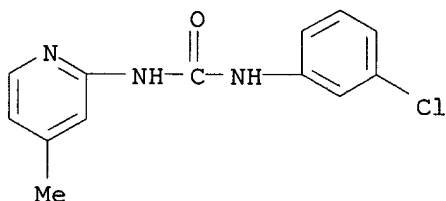
L11 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2003 ACS  
AN 1993:553908 CAPLUS  
DN 119:153908  
TI Synthesis and antiphytoviral activity of some 1,3-disubstituted ureas  
AU Yonova, P. A.; Vassilev, G. N.; Kluge, S.  
CS Inst. Plant Physiol., Sofia, 1113, Bulg.

09/838,286

SO Dokladi na Bulgarskata Akademiya na Naukite (1992), 45(10), 99-102  
CODEN: DBANEH; ISSN: 0861-1459  
DT Journal  
LA English  
AB The antiphytoviral activity of 1-(3- and 4-chlorophenyl)-3-pyridylureas (21 compds.) against potato virus S (PVX) and red clover mottle virus (RCMV) in tobacco depended on the structure of compd. 1-(3-Chlorophenyl)-3-(4-methylpyridyl)urea was the most (43%) active compd. against RCMV. Also 1-(4-nitrophenyl)-3-(5-salicyl)urea gave 62% inhibition of PVX on tobacco leaves.  
IT **35466-46-7P 125300-55-2P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antiviral activity of, structure in relation to)  
RN 35466-46-7 CAPLUS  
CN Urea, N-(4-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

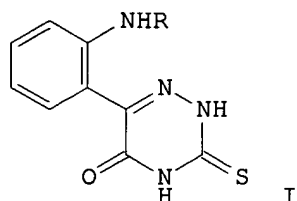


RN 125300-55-2 CAPLUS  
CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2003 ACS  
AN 1991:607959 CAPLUS  
DN 115:207959  
TI Some reactions of 3-thioxo-6-[2-acyl/alkyl aminophenyl]-1,2,4-triazin-5(2H,4H)-ones  
AU Abdel-Rahman, R. M.  
CS Fac. Educ., Ain Shams Univ., Cairo, Egypt  
SO Pakistan Journal of Scientific and Industrial Research (1990), 33(12), 520-4  
CODEN: PSIRAA; ISSN: 0030-9885  
DT Journal  
LA English  
GI

09/838,286

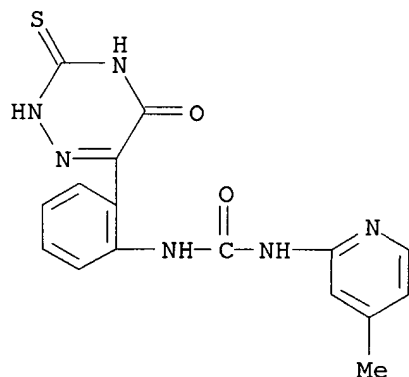


AB Thioxo(aminophenyl)triazinones I [R = COR<sub>1</sub>, R<sub>1</sub> = OEt, CH<sub>2</sub>Cl, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, 3,3,4-(HO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>, 3,3,4-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>; R = CH<sub>2</sub>CO<sub>2</sub>H, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 3,3,4-Me<sub>3</sub>C<sub>6</sub>H<sub>2</sub>COCH<sub>2</sub>, SO<sub>2</sub>Ph, SO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NHCOMe-4] were prepd. by reacting I (R = H) with R<sub>1</sub>COCl or RCl (R = CH<sub>2</sub>CO<sub>2</sub>H, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 3,3,4-Me<sub>3</sub>C<sub>6</sub>H<sub>2</sub>COCH<sub>2</sub>, SO<sub>2</sub>Ph, SO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NHCOMe-4). Many of these compds. were further derivatized.

IT **136715-95-2P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 136715-95-2 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-[2-(2,3,4,5-tetrahydro-5-oxo-3-thioxo-1,2,4-triazin-6-yl)phenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1990:95636 CAPLUS

DN 112:95636

TI Effect of two non-purine cytokinins on the growth of callus tissues from *Nicotiana tabacum* CMS 81

AU Ionova, P.; Izvorska, N.; Vasilev, G.; Belcheva, R.

CS M. Popov Inst. Plant Physiol., Sofia, 1113, Bulg.

SO Doklady Bolgarskoi Akademii Nauk (1989), 42(8), 71-3  
CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

AB N-3-Chlorophenyl-N'-2-(4-methylpyridyl)urea (I) had different activity on tobacco callus tissue growth from that of its isomer N-3-chlorophenyl-N'-2-(5-methylpyridyl)urea (II) indicating that the position of the Me group in the pyridyl ring is of determinant significance. II had activity similar to that of kinetin. I showed stimulating effect on tobacco callus at low concns. (0.5 and 1 mg/L), and an inhibiting effect at high concns. Also, the presence of a Cl atom in the benzene ring meta to the urea bridge increased the cytokinin activity of I and II, as compared to N-phenyl-N'-2-(4- and 5-methylpyridyl)ureas.

IT **125300-55-2**

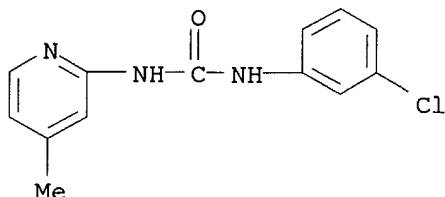
09/838,286

RL: BIOL (Biological study)

(tobacco callus tissue growth response to)

RN 125300-55-2 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1990:406107 CAPLUS

DN 113:6107

TI Synthesis and growth-regulating activity of some N-3-fluorophenyl-N'-pyridyl and methylpyridylureas

AU Ionova, P.; Vasilev, G.

CS M. Popov Inst. Plant Physiol., Sofia, 1113, Bulg.

SO Doklady Bolgarskoi Akademii Nauk (1989), 42(9), 55-8

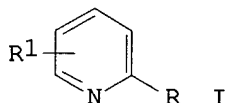
CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

OS CASREACT 113:6107

GI



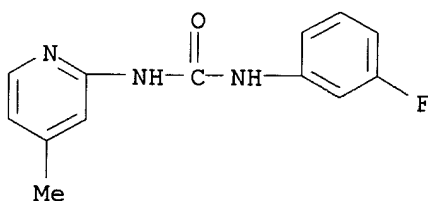
AB Condensation of I (R = H; R1 = NH2) or I (R = NH2; R1 = Me) with 3-FC5H4NCO gave the corresponding pyridylurea derivs. I (R = H; R1 = NHCONHC6H4F-3) and I (R = NHCONHC6H4F-3; R1 = Me) in good yields. The interrelation between the growth regulating and cytokinin activities of these compds. and their chem. structures were also examd.

IT **127489-12-7P**

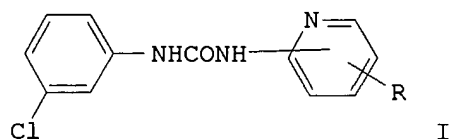
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and cytokinin and herbicidal activities of)

RN 127489-12-7 CAPLUS

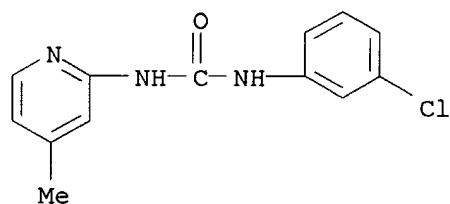
CN Urea, N-(3-fluorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2003 ACS  
 AN 1990:401948 CAPLUS  
 DN 113:1948  
 TI The effect of some new urea derivatives on tobacco calluses  
 AU Vasilev, G.; Izvorska, N.; Ionova, P.; Belcheva, R.  
 CS "Metodi Popov" Inst. Plant Physiol., Sofia, Bulg.  
 SO Fiziologiya na Rastenyata (Sofia) (1989), 15(4), 47-54  
 CODEN: FIRADV; ISSN: 0324-0290  
 DT Journal  
 LA Bulgarian  
 GI



AB Of the 3-chlorophenyl-N'-pyridylureas (I, R = H, Me), the 4-methyl-2-pyridyl deriv. was the most effective cytokinin in the tobacco callus bioassays. The 2- and 3-pyridyl derivs. also exceeded the kinetin std. Synthesis was given.  
 IT **125300-55-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and cytokinin activity of, in tobacco calluses)  
 RN 125300-55-2 CAPLUS  
 CN Urea, N-(3-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 3  
 AN 1988:524310 CAPLUS  
 DN 109:124310  
 TI Synthesis of some N-phenyl-N'-pyridylureas and investigating their influence on the growth and development of isolated plant tissues  
 AU Vasilev, G.; Izvorska, N.; Lilov, D.; Ionova, P.; Dimcheva, Z.  
 CS "M. Popov" Inst. Plant Physiol., Sofia, 1113, Bulg.  
 SO Doklady Bolgarskoi Akademii Nauk (1987), 40(7), 109-12  
 CODEN: DBANAD; ISSN: 0366-8681  
 DT Journal  
 LA English  
 AB N-Phenyl-N'-2-(4-methylpyridyl)urea (I) and N-phenyl-N'-2-(5-methylpyridyl)urea (II), prepd. by treating PhNCO with H2NPy, where Py = 5- or 4-methylpyridyl, possess marked cytokinin activity. The order of activity is II > kinetin > I. The activity of I and II was tested in calluses and tobacco meristem explants, as well as the isolated meristems from cork oak.

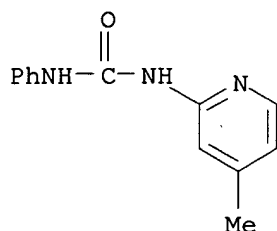
09/838,286

IT **35466-43-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and cytokinin activity of)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)



L11 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1987:554210 CAPLUS

DN 107:154210

TI Synthesis, chemical structure, and biological activity of some  
N-4-chlorophenyl-N'-pyridyl and methyl-pyridylureas

AU Ionova, P.; Vasilev, G.

CS M. Popov Inst. Plant Physiol., Sofia, 1113, Bulg.

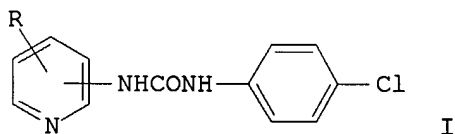
SO Doklady Bolgarskoi Akademii Nauk (1987), 40(2), 95-8

CODEN: DBANAD; ISSN: 0366-8681

DT Journal

LA English

GI



I

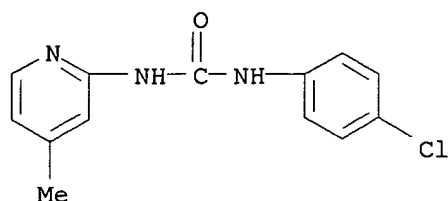
AB Title ureas I were prepd., and they showed herbicidal and plant growth  
regulator activity. The addn. reaction of 4-ClC<sub>6</sub>H<sub>4</sub>NCO with aminopyridines  
gave I.

IT **35466-46-7P**

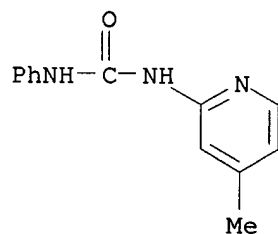
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(prepn. and herbicidal activity of)

RN 35466-46-7 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2003 ACS  
 AN 1987:595019 CAPLUS  
 DN 107:195019  
 TI Effect of new plant regulators on the growth and development of isolated plant tissues  
 AU Izvorska, N.; Vasilev, G.; Lilov, D.; Ionova, P.; Dimcheva, Z.  
 CS "Metodi Popov" Inst. Plant Physiol., Sofia, Bulg.  
 SO Fiziologiya na Rastenyata (Sofia) (1987), 13(2), 48-55  
 CODEN: FIRADV; ISSN: 0324-0290  
 DT Journal  
 LA Bulgarian  
 AB The effect of synthetic non-purine cytokinins N-phenyl-N'-2-(4-methylpyridyl)urea (P-4MPU) and N'-phenyl-N-2'-(5-methyl-2-pyridyl)urea (P-5MPU) on callus formation and morphogenesis was tested on callus cultures of tobacco and meristem-derived tobacco and cork-oak (*Quercus suber*) plantlets. Highest cytokinin effect, in respect to callus growth, organ development, and prodn. of sterile tobacco plants, was recorded at 3 mg/L P-4MPU and 0.5 and 1 mg/L P-5MPU. Callus formation at the base of meristem explants of cork-oak as well as development of well shaped leaves was recorded at 0.5 mg/L P-4MPU and 0.05 mg/L P-5MPU. According to the specific requirements of cork-oak explants, as well as callus development and organogenesis in tobacco explants, the non-purine substance P-4MPU was lower than kinetin, while P-5MPU had a higher activity than kinetin.  
 IT **35466-43-4**  
 RL: PROC (Process)  
 (cytokinin action of, on cork oak and tobacco explants)  
 RN 35466-43-4 CAPLUS  
 CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

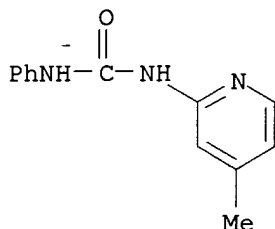


L11 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2003 ACS  
 AN 1986:224484 CAPLUS  
 DN 104:224484  
 TI Molecular conformation of 1,3-pyridylphenylureas by proton and carbon-13 NMR study  
 AU Sudha, L. V.; Sathyanarayana, D. N.  
 CS Dep. Inorg. Physical Chem., Indian Inst. Sci., Bangalore, 560 012, India  
 SO Journal of Molecular Structure (1985), 131(1-2), 141-6  
 CODEN: JMOSB4; ISSN: 0022-2860

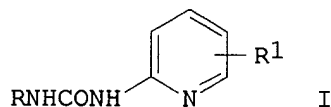


09/838,286

DT Journal  
LA English  
AB NMR data indicate that the E,Z rotamer for the title ureas is stabilized by intramol. H bonding.  
IT **35466-43-4**  
RL: PRP (Properties)  
(conformation of)  
RN 35466-43-4 CAPLUS  
CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

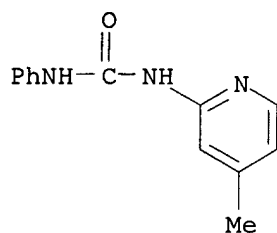


L11 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2003 ACS  
AN 1984:490736 CAPLUS  
DN 101:90736  
TI Synthesis and biological activity of some N-methyl- and phenyl-N'-pyridyl and methylpyridylureas  
AU Vasilev, G.  
CS Inst. Plant Physiol., Sofia, Bulg.  
SO Doklady Bolgarskoi Akademii Nauk (1984), 37(4), 517-20  
CODEN: DBANAD; ISSN: 0366-8681  
DT Journal  
LA English  
GI

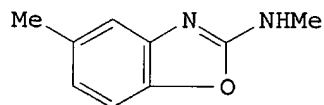


AB Ureas I (R = Me Ph; R1 = 3-, 4-, 5-, or 6-Me) were prepd., and they showed herbicidal and plant growth regulator activity. Thus, MeNCO was treated with 2-amino-3-methylpyridine to give I (R = Me, R1 = 3-Me).  
IT **35466-43-4P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and herbicidal and plant growth regulator activity of)  
RN 35466-43-4 CAPLUS  
CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

09/838,286



L11 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2003 ACS  
AN 1981:587129 CAPLUS  
DN 95:187129  
TI Studies on heterocyclic compounds. XXXIV. Synthesis of 2-substituted aminobenzoxazoles with nickel peroxide  
AU Ogura, Haruo; Mineo, Satoshi; Nakagawa, Kunio  
CS Sch. Pharm. Sci., Kitasato Univ., Tokyo, 108, Japan  
SO Chemical & Pharmaceutical Bulletin (1981), 29(6), 1518-24  
CODEN: CPBTAL; ISSN: 0009-2363  
DT Journal  
LA English  
GI



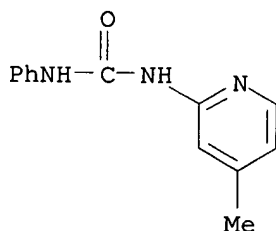
II

AB Oxidn. of N-Me (or phenyl)-N'-(4-methylpyrid-2-yl)thiourea with nickel peroxide (Ni-PO) under reflux in benzene or MeCN afforded the corresponding ureas. 2,5-(HO)MeC<sub>6</sub>H<sub>3</sub>NHCSNHMe was synthesized by the reaction of 2,4-(H<sub>2</sub>N)MeC<sub>6</sub>H<sub>3</sub>OH (I) and MeNCS in benzene under reflux. However, the reaction of I and PhNCS in benzene under reflux did not afford the thiourea, but 2,5-(HO)MeC<sub>6</sub>H<sub>3</sub>NHCSNHPh was obtained in EtOH at room temp. Ni-PO oxidn. of thioureas in MeCN at room temp. afforded 2-substituted aminobenzoxazoles, e.g. II, in good yields. Mechanisms for the reactions of Ni-PO with thioureas are discussed.

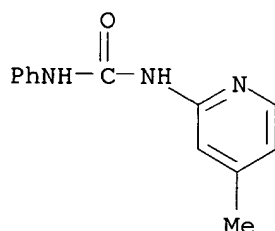
IT **35466-43-4P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 35466-43-4 CAPLUS

CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

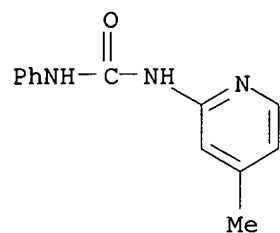


L11 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2003 ACS  
 AN 1980:194746 CAPLUS  
 DN 92:194746  
 TI Delayed aging of cut carnations by purine and nonpurine cytokinins  
 AU Vasilev, G.; Iliev, L.; Dimcheva, Z.; Ionova, P.  
 CS M. Popoff Inst. Plant Physiol., Sofia, Bulg.  
 SO Doklady Bolgarskoi Akademii Nauk (1979), 32(12), 1709-12  
 CODEN: DBANAD; ISSN: 0366-8681  
 DT Journal  
 LA English  
 AB The effect of a no. of thiourea derivs. on the delay of aging of cut carnations was examd. in comparison to diphenylurea (DPU) and kinetin. p-Phenylthioureidosalicylic acid (PTUS) at 10-3M produced the best results in delaying aging of cut carnations of both the William and Wright varieties. The effect was nearly equal to that of kinetin (10-5M) and more marked than that of benzylaminopurine (10-5M) and DPU (10-3M). No synergism was obsd. with various combinations of PTUS, kinetin, DPU, and benzylaminopurine.  
 IT **35466-43-4**  
 RL: BIOL (Biological study)  
 (cut carnation delayed aging by)  
 RN 35466-43-4 CAPLUS  
 CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

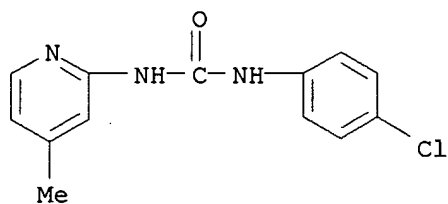


L11 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2003 ACS  
 AN 1972:113031 CAPLUS  
 DN 76:113031  
 TI New derivatives of urea  
 AU Lesiak, Tadeusz; Lerke, Andrzej  
 CS Zakl. Chem. Bydoszcz, Univ. Torun, Torun, Pol.  
 SO Roczniki Chemii (1971), 45(11), 1967-8  
 CODEN: ROCHAC; ISSN: 0035-7677  
 DT Journal  
 LA Polish  
 GI For diagram(s), see printed CA Issue.  
 AB Eighteen 1-pyridyl-3-phenylurea derivs. (I, R = H, Me; X = H, Cl) were prepd. from the corresponding 2-, 3-, and 4-aminopyridines and 2-amino-3-, -4-, and -5-methylpyridines by reaction with Ph, 4-chlorophenyl, or 3,4-dichlorophenyl isocyanate. Their herbicidal activity was evaluated.  
 IT **35466-43-4P 35466-46-7P 35551-57-6P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 35466-43-4 CAPLUS  
 CN Urea, N-(4-methyl-2-pyridinyl)-N'-phenyl- (9CI) (CA INDEX NAME)

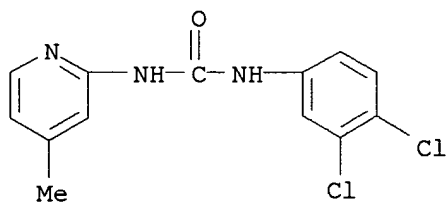
09/838,286



RN 35466-46-7 CAPLUS  
CN Urea, N-(4-chlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 35551-57-6 CAPLUS  
CN Urea, N-(3,4-dichlorophenyl)-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



09/838,286

=>

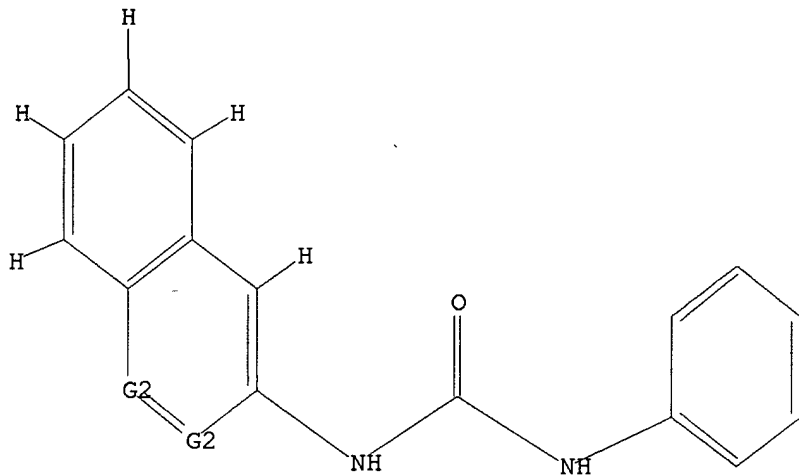
Uploading 09838286.str

L12 STRUCTURE UPLOADED

=> d

L12 HAS NO ANSWERS

L12 STR



G1 H

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l12

SAMPLE SEARCH INITIATED 12:56:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 736 TO ITERATE

100.0% PROCESSED 736 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 13093 TO 16347

PROJECTED ANSWERS: 5 TO 234

L13 5 SEA SSS SAM L12

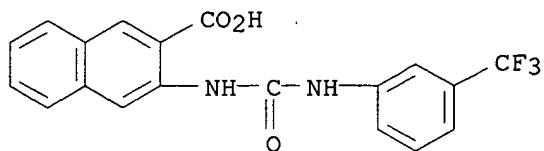
=> d scan

L13 5 ANSWERS REGISTRY COPYRIGHT 2003 ACS

IN 2-Naphthalenecarboxylic acid, 3-[[[3-(trifluoromethyl)phenyl]amino]carbon-yl]amino]- (9CI)

MF C19 H13 F3 N2 O3

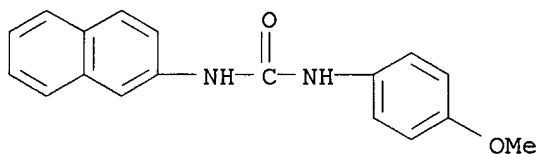
09/838,286



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L13 5 ANSWERS REGISTRY COPYRIGHT 2003 ACS  
IN Urea, N-(4-methoxyphenyl)-N'-2-naphthalenyl- (9CI)  
MF C18 H16 N2 O2



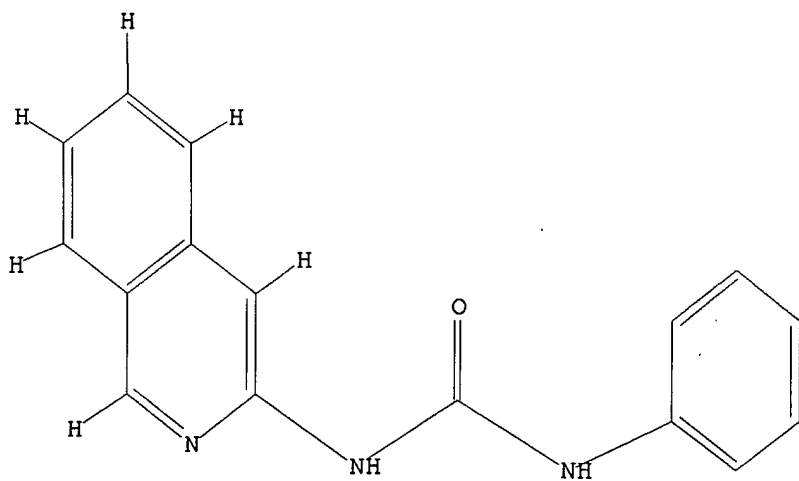
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>  
Uploading 09838286.str

L14 STRUCTURE UPLOADED

=> d  
L14 HAS NO ANSWERS  
L14 STR



G1 H  
G2 C,N

09/838,286

Structure attributes must be viewed using STN Express query preparation.

=> s l14

SAMPLE SEARCH INITIATED 12:58:45 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 9 TO 360  
PROJECTED ANSWERS: 0 TO 0

L15 0 SEA SSS SAM L14

=> s l14 ful

FULL SEARCH INITIATED 12:58:55 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 178 TO ITERATE

100.0% PROCESSED 178 ITERATIONS 9 ANSWERS  
SEARCH TIME: 00.00.01

L16 9 SEA SSS FUL L14

=> file caplus,uspatful

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	149.75	602.09
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-16.28

FILE 'CAPLUS' ENTERED AT 12:59:05 ON 02 APR 2003  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 12:59:05 ON 02 APR 2003  
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l16

L17 8 L16

=> dup rem l17

PROCESSING COMPLETED FOR L17  
L18 6 DUP REM L17 (2 DUPLICATES REMOVED)

=> d 1-6 bib,abs,hitstr

L18 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1  
AN 2002:850357 CAPLUS  
DN 137:352907  
TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf  
kinase for the treatment of tumors and/or cancerous cell growth  
IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley  
N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,

Timothy B.; Scott, William J.; Smith, Roger A.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548.

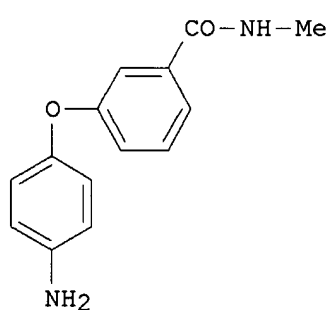
CODEN: USXXCO

DT Patent

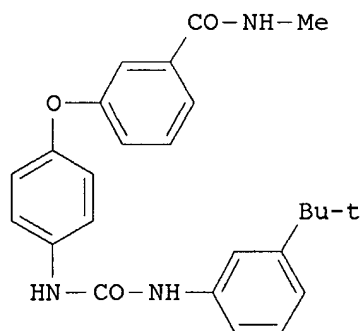
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002165394	A1	20021107	US 2001-777920	20010207
	US 2002137774	A1	20020926	US 2001-907970	20010719
	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1999-115877P	P	19990113		
	US 1999-257266	B2	19990225		
	US 1999-425228	B2	19991022		
	US 2001-758548	A2	20010112		
	US 2001-777920	A	20010207		
OS	MARPAT 137:352907				
GI					



II



III

AB Title compds. B-NHCONH-L-(M-L1)<sub>q</sub> (I) [B = (un)substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepd. For example, coupling of aniline II, e.g., prepd. from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC<sub>50</sub> values ranging from 10 nM-10 .mu.M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.



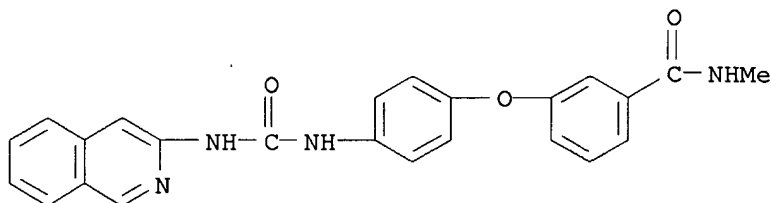
IT **432050-52-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-52-7 CAPLUS

CN Benzamide, 3-[4-[[[3-(isoquinolinylamino)carbonyl]amino]phenoxy]-N-methyl-(9CI) (CA INDEX NAME)



L18 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS

DUPLICATE 2

AN 2002:409267 CAPLUS

DN 137:6098

TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U. S. Ser. No. 778,039. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002065296	A1	20020530	US 2001-838286	20010420
	WO 2002085859	A1	20021031	WO 2002-US12064	20020417

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	US 1999-115878P	P	19990113
	US 1999-257265	B1	19990225
	US 1999-425229	A2	19991022
	US 2001-778039	A2	20010207
	US 2001-838286	A	20010420

OS MARPAT 137:6098

AB This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea) contg. N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinolinyl or isoquinolinyl group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl

moiety of up to 50 C atoms with a cyclic structure bound directly to N, contg. at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of prepn. are not claimed, 37 example prepn. are included as well as examples of prepn. of intermediates. No pharmacol. data is included.

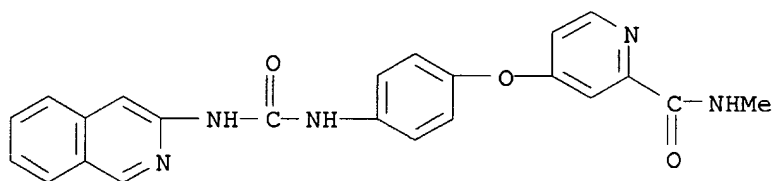
IT **432050-29-8P**, N-(3-Isoquinolyl)-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea **432050-35-6P**, N-(3-Isoquinolyl)-N'-(4-methylphenyl)urea **432050-36-7P**, N-(3-Isoquinolyl)-N'-(4-fluorophenyl)urea **432050-37-8P**, N-(3-Isoquinolyl)-N'-(2,3-dichlorophenyl)urea **432050-38-9P**, N-(3-Isoquinolyl)-N'-(1-naphthyl)urea **432050-39-0P**, N-(3-Isoquinolyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea **432050-45-8P** **432050-52-7P**, N-(Isoquinol-3-yl)-N'-(4-(3-(methylcarbamoyl)phenoxy)phenyl)urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroaryl ureas contg. nitrogen hetero-atoms as p38 kinase inhibitors)

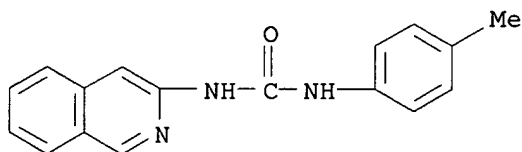
RN 432050-29-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[(3-isoquinolinylamino)carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



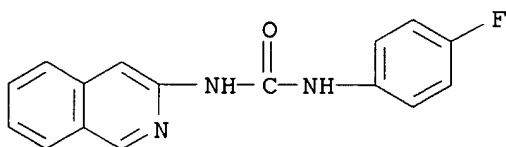
RN 432050-35-6 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 432050-36-7 CAPLUS

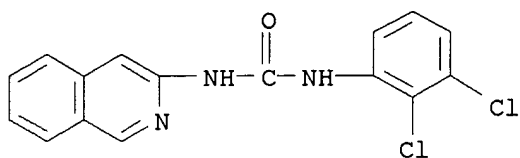
CN Urea, N-(4-fluorophenyl)-N'-3-isoquinolinyl- (9CI) (CA INDEX NAME)



RN 432050-37-8 CAPLUS

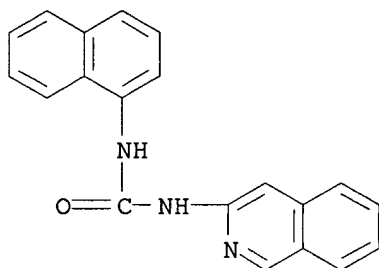
CN Urea, N-(2,3-dichlorophenyl)-N'-3-isoquinolinyl- (9CI) (CA INDEX NAME)

09/838,286



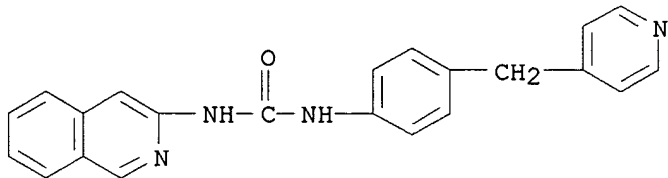
RN 432050-38-9 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)



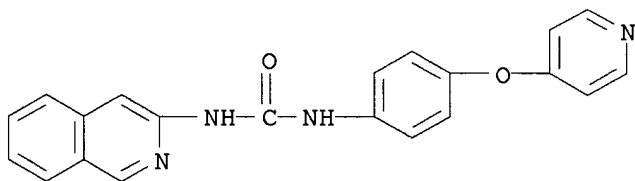
RN 432050-39-0 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



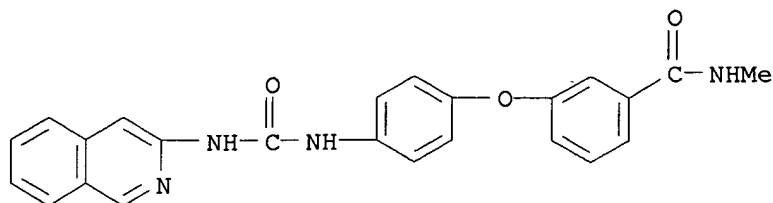
RN 432050-45-8 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 432050-52-7 CAPLUS

CN Benzamide, 3-[4-[[ (3-isoquinolinylamino)carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



L18 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2002:832761 CAPLUS

DN 137:337791

TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

PA Bayer Corporation, USA

SO PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085857	A2	20021031	WO 2002-US12066	20020418
	WO 2002085857	A3	20030116		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-838285 A 20010420

OS MARPAT 137:337791

AB Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl, etc.; B = (un)substituted bridged cyclic structure, etc.] and analogs were prepd. For instance, 4-tert-butyl-2-aminopyridine was coupled to 4-(4-pyridylmethyl)aniline (CH<sub>2</sub>Cl<sub>2</sub>, CDI, 0.degree.) to give N-(4-tert-butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea as a white solid. Example compds. had IC<sub>50</sub> between 10nM and 10.mu.M for raf kinase. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

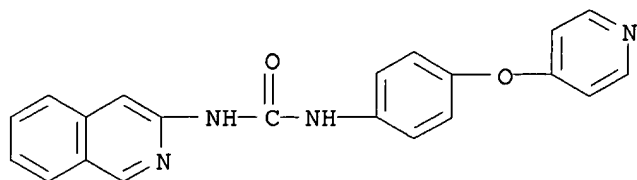
IT 432050-45-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

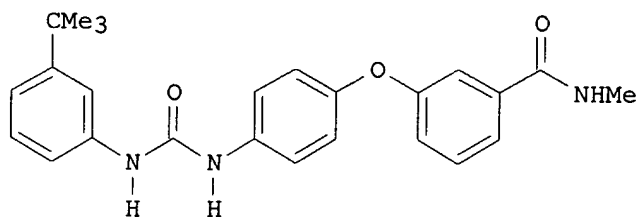
RN 432050-45-8 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS  
 AN 2002:615574 CAPLUS  
 DN 137:169425  
 TI Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors  
 IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 125 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	US 2002165394	A1	20021107	US 2001-777920	20010207
PRAI	US 2001-777920	A	20010207		
	US 1999-115877P	P	19990113		
	US 1999-257266	B2	19990225		
	US 1999-425228	B2	19991022		
	US 2001-758548	A2	20010112		
OS	MARPAT 137:169425				
GI					



II

AB Title compds., e.g., RNHCONHZOR1 [I; R = C<sub>6</sub>H<sub>4</sub>(CMe<sub>3</sub>)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R1 = (un)substituted acylphenyl,

09/838,286

-acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were prepd. Thus, 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>OC<sub>6</sub>H<sub>4</sub>(CONHMe)-4 (prepn. given) was condensed with 3-(Me<sub>3</sub>C)C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> and CO(OC<sub>2</sub>H<sub>5</sub>)<sub>2</sub> to give title compd. II. Data for biol. activity of title compds. were given.

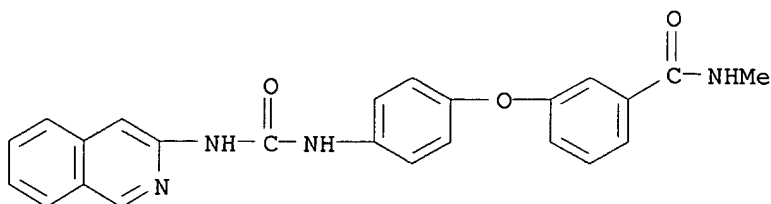
IT **432050-52-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors)

RN 432050-52-7 CAPLUS

CN Benzamide, 3-[4-[(3-isoquinolinylamino)carbonyl]amino]phenoxy]-N-methyl-(9CI) (CA INDEX NAME)



L18 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2002:591913 CAPLUS

DN 137:150215

TI Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents

IN Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 194 pp.

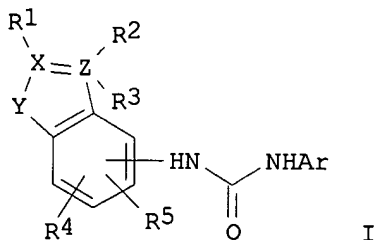
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002220338	A2	20020809	JP 2001-18755	20010126
PRAI	JP 2001-18755		20010126		
OS	MARPAT 137:150215				
GI					



AB This invention relates to the general structures (I; Ar = N-contg. hetero arom. ring, X, Z = C, etc.; Y = CO, etc.; R<sub>1</sub>-R<sub>5</sub> = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on

09/838,286

cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

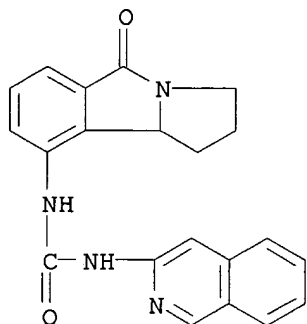
IT 322685-81-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322685-81-4 CAPLUS

CN Urea, N-3-isoquinolinyl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



L18 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2001:78363 CAPLUS

DN 134:147614

TI Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)

IN Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 460 pp.

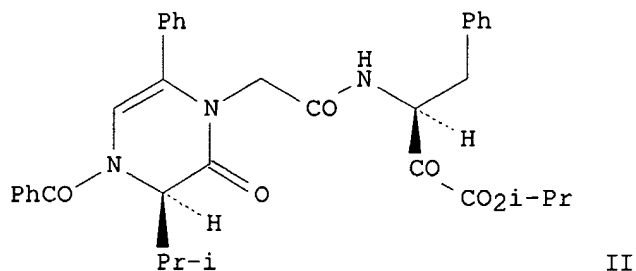
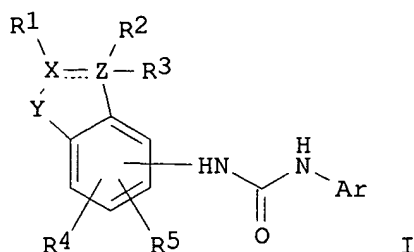
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007411	A1	20010201	WO 2000-JP4991	20000726
	W:	AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GE, HR, HU, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	JP 2001106673	A2	20010417	JP 2000-274175	20000726
	EP 1199306	A1	20020424	EP 2000-949909	20000726
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
PRAI	JP 1999-211384	A	19990726		
	WO 2000-JP4991	W	20000726		
OS	MARPAT 134:147614				
GI					



AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic arom. group such as (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO<sub>2</sub>; R1 represents hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalyl, quinolyl, etc.; W2 = single bond, O, S, SO, SO<sub>2</sub>, N-(un)substituted NH, SO<sub>2</sub>NH, NHSO<sub>2</sub>NH, NHSO<sub>2</sub>, CONH, NHCO, NHCONH, NHCO<sub>2</sub>, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepd. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC<sub>50</sub> of 0.061 and 0.019 . $\mu$ M against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 . $\mu$ M, resp., for (+-)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC<sub>50</sub> of 0.013 and 0.10 . $\mu$ M, resp., vs. 0.15 and 0.87 . $\mu$ M, resp., for (+-)-flavopiridol. Pharmaceutical formulations contg. I were prepd.

IT 322685-81-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

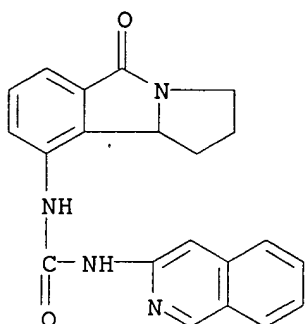
(prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)

RN 322685-81-4 CAPLUS



09/838,286

CN Urea, N-3-isoquinolinyl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
29.92	632.01

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-3.91	-20.19

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 13:01:45 ON 02 APR 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7

DICTIONARY FILE UPDATES: 1 APR 2003 HIGHEST RN 501325-53-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 09838286.str

L19 STRUCTURE UPLOADED

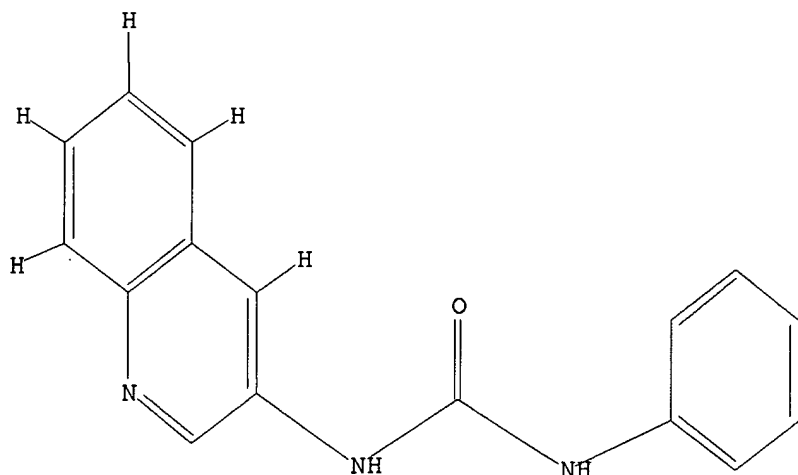
=> d

L19 HAS NO ANSWERS

09/838,286

L19

STR



G1 H

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l19

SAMPLE SEARCH INITIATED 13:02:07 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 229 TO 851

PROJECTED ANSWERS: 0 TO 0

L20 0 SEA SSS SAM L19

=> s l19 ful

FULL SEARCH INITIATED 13:02:16 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 575 TO ITERATE

100.0% PROCESSED 575 ITERATIONS

38 ANSWERS

SEARCH TIME: 00.00.01

L21 38 SEA SSS FUL L19

=> file caplus,uspatful

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

780.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-20.19

FILE 'CAPLUS' ENTERED AT 13:02:25 ON 02 APR 2003

09/838,286

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 13:02:25 ON 02 APR 2003  
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 121

L22 23 L21

=> dup rem 122

PROCESSING COMPLETED FOR L22

L23 21 DUP REM L22 (2 DUPLICATES REMOVED)

=> d 1-21 bib,abs,hitstr

L23 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 2003:133223 CAPLUS

DN 138:169972

TI Preparation of substituted N-naphthyl-N'-phenylureas and N-substituted  
naphthylacetamides as vanilloid receptor 1 (VR1) antagonists

IN Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda, Tsutoma; Kokubo,  
Toshio; Urbahns, Klaus; Lowinger, Timothy B.; Yoshida, Nagahiro; Freitag,  
Joachim; Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo, Makiko; Shiroo,  
Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshuda; Tsukimi,  
Yasuhiro

PA Bayer AG, Germany

SO PCT Int. Appl., 186 pp.

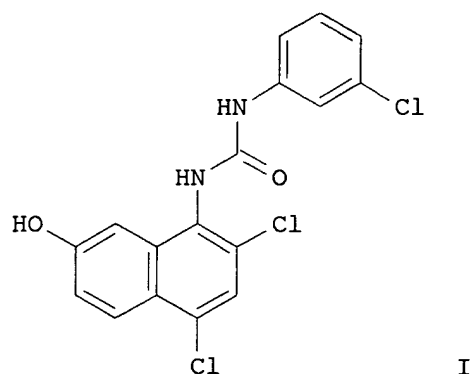
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003014064	A1	20030220	WO 2002-EP8493	20020731
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	JP 2003055209	A2	20030226	JP 2001-232503	20010731
PRAI	JP 2001-232503	A	20010731		
	JP 2001-392310	A	20011125		
OS	MARPAT 138:169972				
GI					



AB The title compds.  $R^7Q(Y)C(O)NXR^6$  [ $X =$  (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.;  $Q = CH, N$ ;  $R^6, R^7 = H, Me$ ;  $Y =$  substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases assocd. with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepd. Thus, reacting 8-amino-5,7-dichloro-2-naphthol (prepn. given) with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed  $IC_{50}$  of .1 to req. 10 nM for VR1.

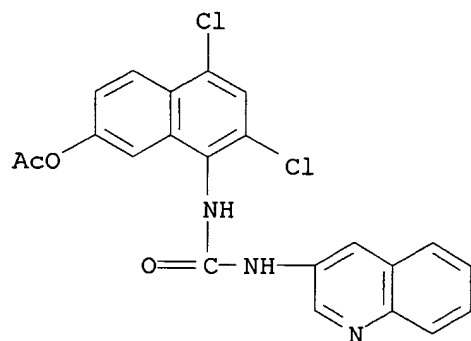
IT **497150-30-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

RN 497150-30-8 CAPLUS

CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-3-quinolyl- (9CI)  
(CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1

AN 2002:850357 CAPLUS

DN 137:352907

TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf

kinase for the treatment of tumors and/or cancerous cell growth  
 IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley  
 N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,  
 Timothy B.; Scott, William J.; Smith, Roger A.

PA Bayer Corporation, USA

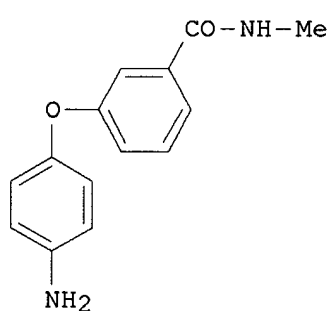
SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548.  
 CODEN: USXXCO

DT Patent

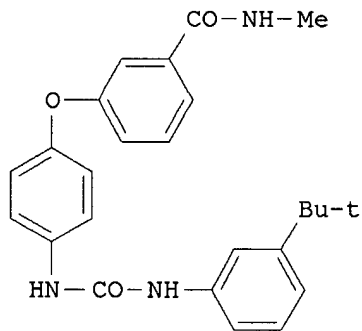
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002165394	A1	20021107	US 2001-777920	20010207
	US 2002137774	A1	20020926	US 2001-907970	20010719
	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 1999-115877P	P	19990113		
	US 1999-257266	B2	19990225		
	US 1999-425228	B2	19991022		
	US 2001-758548	A2	20010112		
	US 2001-777920	A	20010207		
OS	MARPAT 137:352907				
GI					



II



III

AB Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un)substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepd. For example, coupling of aniline II, e.g., prepd. from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase

assays, 112-specific examples of compds. I inhibited kinase activity with IC50 values ranging from 10 nM-10 .mu.M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

IT 432050-22-1P 432050-23-2P 432050-24-3P

432050-25-4P 432050-26-5P 432050-27-6P

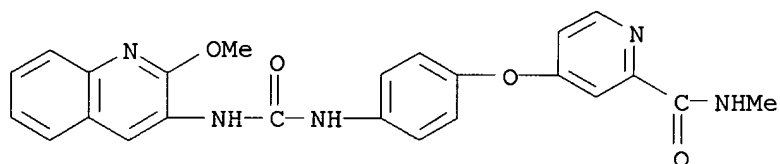
432050-28-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

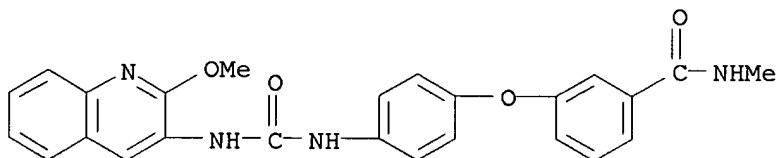
RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



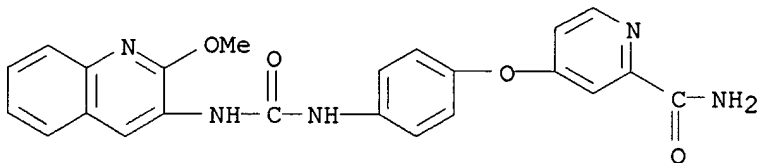
RN 432050-23-2 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 432050-24-3 CAPLUS

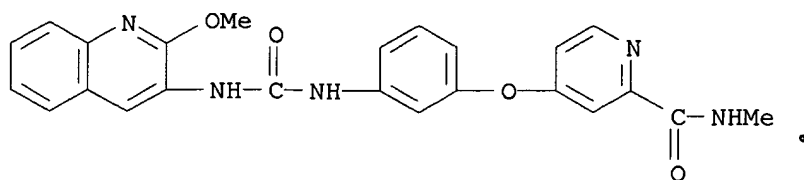
CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 432050-25-4 CAPLUS

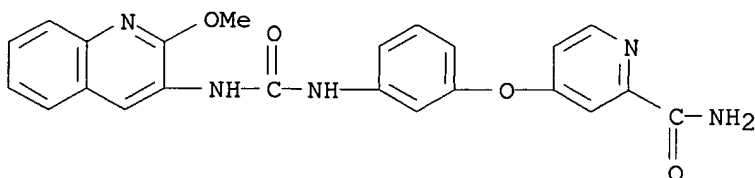
CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinoliny)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

09/838,286



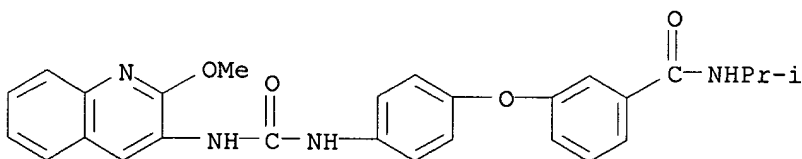
RN 432050-26-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



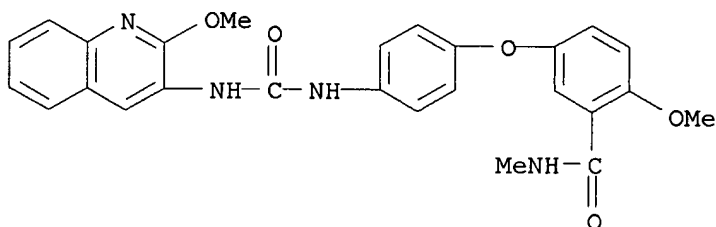
RN 432050-27-6 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 432050-28-7 CAPLUS

CN Benzamide, 2-methoxy-5-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



L23 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS

DUPLICATE 2

AN 2002:409267 CAPLUS

DN 137:6098

TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U. S. Ser. No. 778,039.  
CODEN: USXXCO

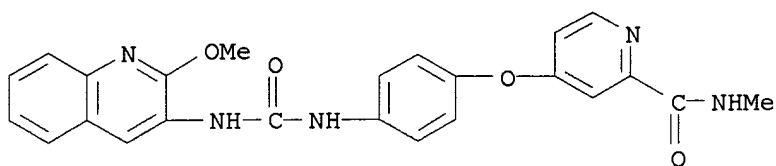
09/838,286

DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002065296	A1	20020530	US 2001-838286	20010420
	WO 2002085859	A1	20021031	WO 2002-US12064	20020417
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1999-115878P	P	19990113		
	US 1999-257265	B1	19990225		
	US 1999-425229	A2	19991022		
	US 2001-778039	A2	20010207		
	US 2001-838286	A	20010420		
OS	MARPAT 137:6098				
AB	This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea) contg. N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinoliny or isoquinoliny group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 50 C atoms with a cyclic structure bound directly to N, contg. at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of prepn. are not claimed, 37 example prepn. are included as well as examples of prepn. of intermediates. No pharmacol. data is included.				
IT	<b>432050-22-1P</b> , N-(2-Methoxy-3-quinoliny)-N'-[4-(2-(N-Methylcarbamyl)-4-pyridyloxy)phenyl]urea <b>432050-23-2P</b> , N-(2-Methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea <b>432050-24-3P</b> , N-(2-Methoxy-3-quinolyl)-N'-[4-(2-carbamoyl-4-pyridyloxy)phenyl]urea <b>432050-25-4P</b> , N-(2-Methoxy-3-quinolyl)-N'-[3-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea <b>432050-26-5P</b> , N-(2-Methoxy-3-quinolyl)-N'-[3-(2-carbamoyl-4-pyridyloxy)phenyl]urea <b>432050-27-6P</b> , N-(2-Methoxy-3-quinolyl)-N'-[4-[3-(N-isopropylcarbamoyl)phenoxy]phenyl]urea <b>432050-28-7P</b> , N-(2-Methoxy-3-quinolyl)-N'-[4-[4-methoxy-3-(N-methylcarbamoyl)phenoxy]phenyl]urea <b>432050-40-3P</b> , N-(3-Quinolyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea <b>432050-46-9P</b> <b>432050-47-0P</b> <b>432050-48-1P</b> <b>432050-49-2P</b> <b>432050-50-5P</b> <b>432050-53-8P</b> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heteroaryl ureas contg. nitrogen hetero-atoms as p38 kinase inhibitors)				
RN	432050-22-1 CAPLUS				
CN	2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]aminophenoxy]-N-methyl- (9CI) (CA INDEX NAME)				

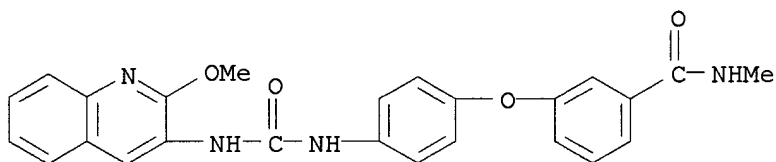


09/838,286



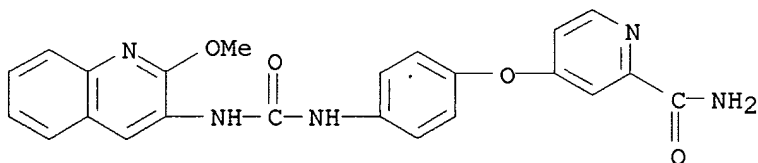
RN 432050-23-2 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



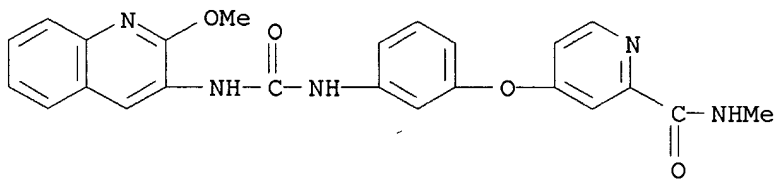
RN 432050-24-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



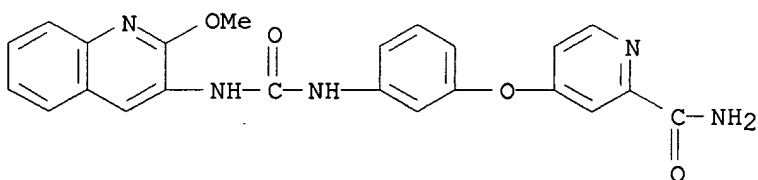
RN 432050-25-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 432050-26-5 CAPLUS

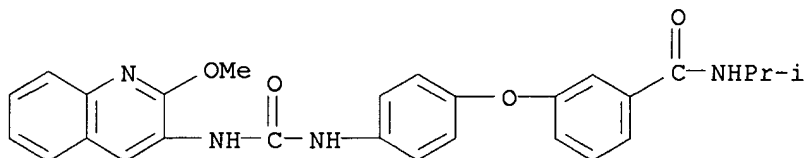
CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



09/838,286

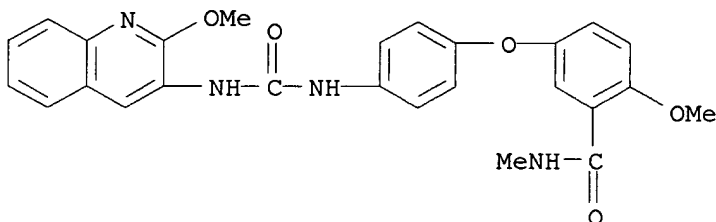
RN 432050-27-6 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



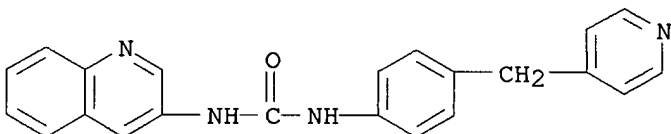
RN 432050-28-7 CAPLUS

CN Benzamide, 2-methoxy-5-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



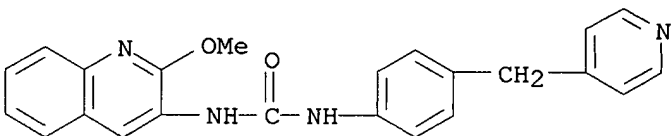
RN 432050-40-3 CAPLUS

CN Urea, N-[4-(4-pyridinylmethyl)phenyl]-N'-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 432050-46-9 CAPLUS

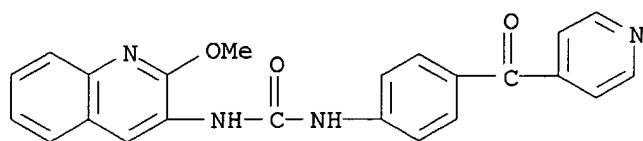
CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 432050-47-0 CAPLUS

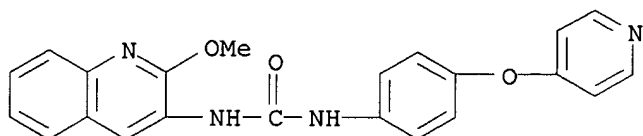
CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

09/838,286



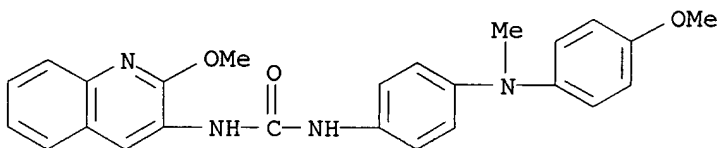
RN 432050-48-1 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)



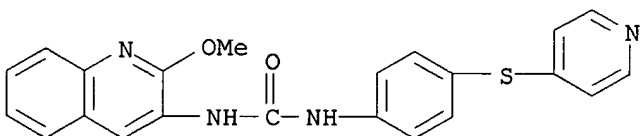
RN 432050-49-2 CAPLUS

CN Urea, N-[4-[(4-methoxyphenyl)methylamino]phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)



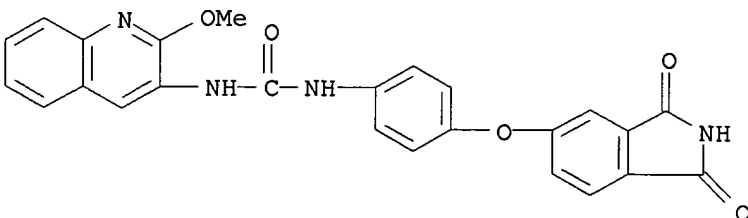
RN 432050-50-5 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 432050-53-8 CAPLUS

CN Urea, N-[4-[(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl)oxy]phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)



09/838,286

AN 2002:832761 CAPLUS  
DN 137:337791  
TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase  
IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
PA Bayer Corporation, USA  
SO PCT Int. Appl., 65 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085857	A2	20021031	WO 2002-US12066	20020418
	WO 2002085857	A3	20030116		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2001-838285 A 20010420

OS MARPAT 137:337791

AB Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl, etc.; B = (un)substituted bridged cyclic structure, etc.] and analogs were prepd. For instance, 4-tert-butyl-2-aminopyridine was coupled to 4-(4-pyridylmethyl)aniline (CH<sub>2</sub>Cl<sub>2</sub>, CDI, 0.degree.) to give N-(4-tert-butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea as a white solid. Example compds. had IC<sub>50</sub> between 10nM and 10.mu.M for raf kinase. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

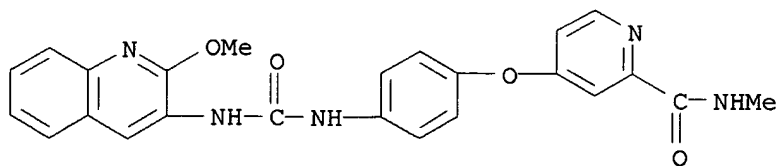
IT **432050-22-1P 432050-46-9P 432050-47-0P**  
**432050-48-1P 432050-49-2P 473915-55-8P**  
**473915-57-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-22-1 CAPLUS

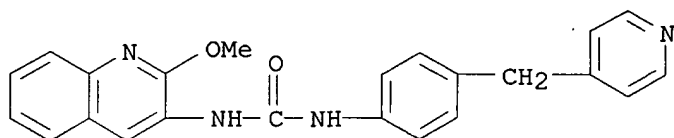
CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 432050-46-9 CAPLUS

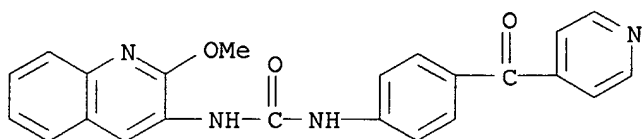
CN Urea, N-(2-methoxy-3-quinoliny)-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

09/838,286



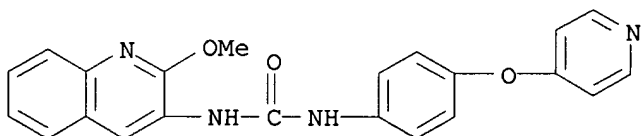
RN 432050-47-0 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinylcarbonyl)phenyl]- (9CI)  
(CA INDEX NAME)



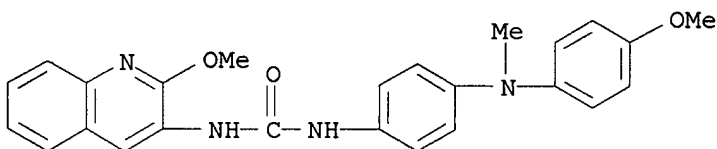
RN 432050-48-1 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA  
INDEX NAME)



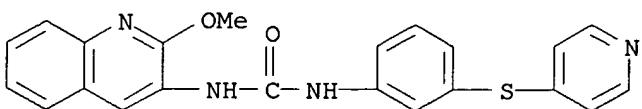
RN 432050-49-2 CAPLUS

CN Urea, N-[4-[(4-methoxyphenyl)methylamino]phenyl]-N'-(2-methoxy-3-  
quinolinyl)- (9CI) (CA INDEX NAME)



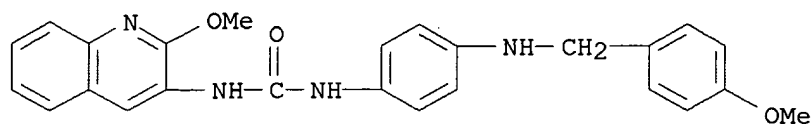
RN 473915-55-8 CAPLUS

CN Urea, N-(2-methoxy-3-quinolinyl)-N'-[3-(4-pyridinylthio)phenyl]- (9CI)  
(CA INDEX NAME)



RN 473915-57-0 CAPLUS

CN Urea, N-[4-[[[4-methoxyphenyl)methyl]amino]phenyl]-N'-(2-methoxy-3-  
quinolinyl)- (9CI) (CA INDEX NAME)



L23 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 2002:615574 CAPLUS

DN 137:169425

TI Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.

PA Bayer Corporation, USA

SO PCT Int. Appl., 125 pp.

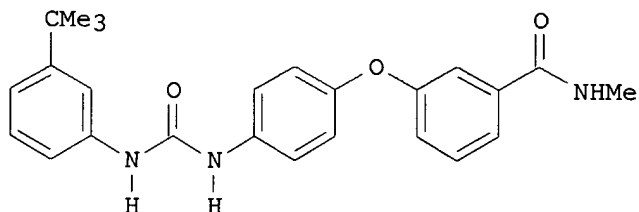
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2002165394	A1	20021107	US 2001-777920	20010207
PRAI	US 2001-777920	A	20010207		
	US 1999-115877P	P	19990113		
	US 1999-257266	B2	19990225		
	US 1999-425228	B2	19991022		
	US 2001-758548	A2	20010112		
OS	MARPAT 137:169425				
GI					



II

AB Title compds., e.g., RNHCONHZOR1 [I; R = C<sub>6</sub>H<sub>4</sub>(CMe<sub>3</sub>)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R<sub>1</sub> = (un)substituted acylphenyl, -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were

prepd. Thus, 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>OC<sub>6</sub>H<sub>4</sub>(CONHMe)-4 (prepn. given) was condensed with 3-(Me<sub>3</sub>C)C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> and CO(OCCl<sub>3</sub>)<sub>2</sub> to give title compd. II. Data for biol. activity of title compds. were given.

IT **432050-22-1P 432050-23-2P 432050-24-3P**

**432050-25-4P 432050-26-5P 432050-27-6P**

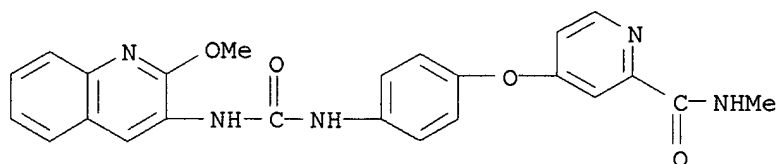
**432050-28-7P 432050-53-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors)

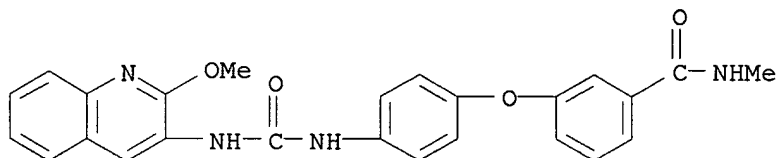
RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



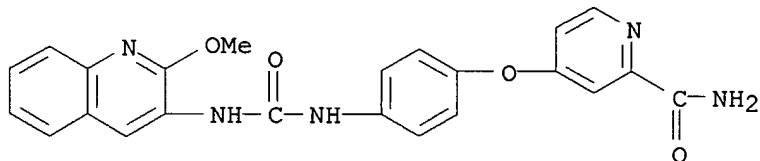
RN 432050-23-2 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 432050-24-3 CAPLUS

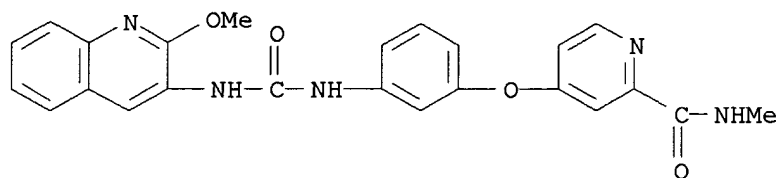
CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 432050-25-4 CAPLUS

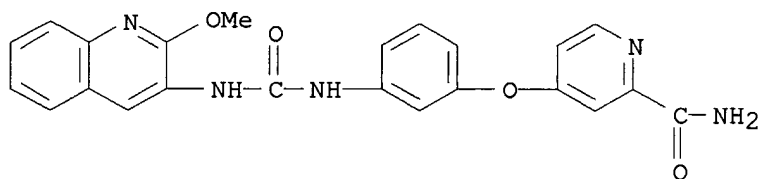
CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

09/838,286



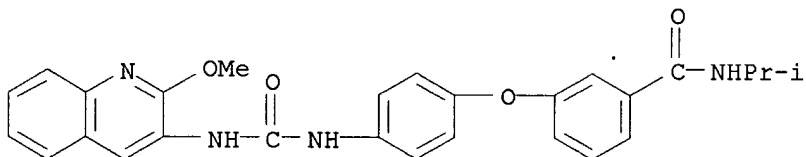
RN 432050-26-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-[[[(2-methoxy-3-quinolinyl) amino] carbonyl] amino] phenoxy]- (9CI) (CA INDEX NAME)



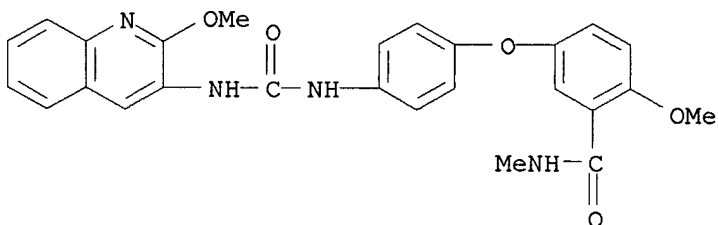
RN 432050-27-6 CAPLUS

CN Benzamide, 3-[4-[[[(2-methoxy-3-quinolinyl) amino] carbonyl] amino] phenoxy]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 432050-28-7 CAPLUS

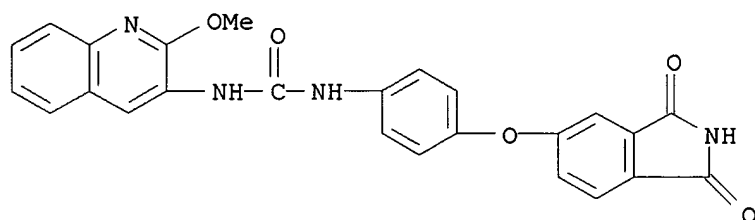
CN Benzamide, 2-methoxy-5-[4-[[[(2-methoxy-3-quinolinyl) amino] carbonyl] amino] phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



RN 432050-53-8 CAPLUS

CN Urea, N-[4-[(2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl) oxy] phenyl]-N'-(2-methoxy-3-quinolinyl)- (9CI) (CA INDEX NAME)





L23 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 2002:240716 CAPLUS

DN 136:279196

TI Preparation and use of amino alcohol derivatives for treatment of urinary incontinence

IN Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Ohtake, Hiroaki; Korada, Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi, Kiyoshi

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 112 pp.

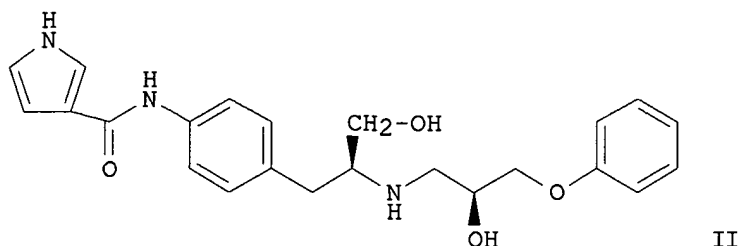
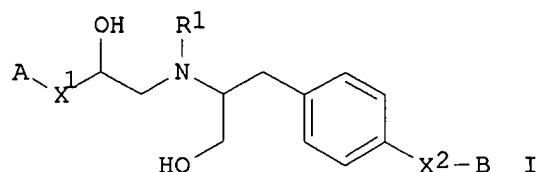
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024635	A2	20020328	WO 2001-JP8155	20010919
	WO 2002024635	A3	20030220		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001090246	A5	20020402	AU 2001-90246	20010919
PRAI	AU 2000-340	A	20000925		
	WO 2001-JP8155	W	20010919		
OS	MARPAT 136:279196				
GI					



AB Title compds. I [ $X_1$  = bond,  $OCH_2$ ;  $X_2$  =  $(NR_2CO)_n$ ,  $NHCOY_1$ ;  $R_2$  = H, alkyl;  $n$  = 1-2;  $Y_1$  =  $NR_3$ ;  $R_3$  = H, alkyl, etc.;  $R_1$  = H, amino protective group;  $A$  = Ph, indolyl, carbazolyl;  $B$  = H, halo, alkyl, alkoxy carbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepd. For instance, (2S)-2-(phenoxy methyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[(2S)-2-hydroxy-3-phenoxypropyl]amino-1-propanol. This intermediate was protected as the N-Boc deriv. which was then reduced (MeOH/eq, 10% Pd-C,  $H_2$ -1 atm) to give the corresponding aminophenyl deriv. Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed 2.6  $\pm$  0.05 mm Hg increase in intravesical pressure (compared to 7.0  $\pm$  1.0 mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

IT **406169-80-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; prepn. and use of amino alc. derivs. for treatment of urinary incontinence)

RN 406169-80-0 CAPLUS

CN Urea, N-[4-[(2S)-2-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-N'-3-quinolinyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

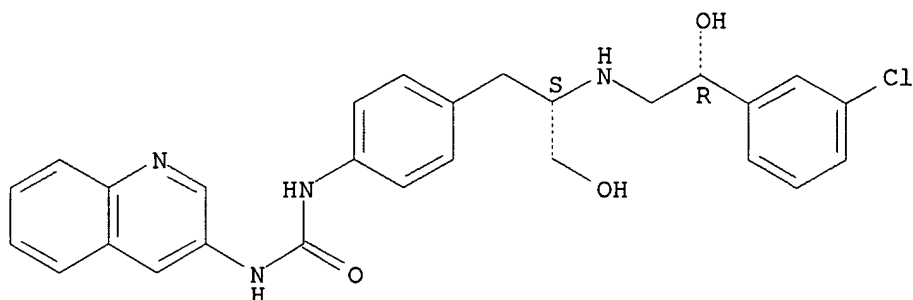
CM 1

CRN 406169-79-7

CMF C27 H27 Cl N4 O3

Absolute stereochemistry.

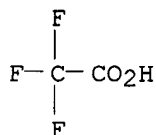
09/838,286



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L23 ANSWER 7 OF 21 USPATFULL

AN 2002:6007 USPATFULL

TI Heteroaryl-aryl ureas as IGF-1 receptor antagonists

IN Kozlowski, Michael R., Palo Alto, CA, United States

Lum, Robert T., Palo Alto, CA, United States

Schow, Steven R., Redwood Shores, CA, United States

Villar, Hugo O., Newark, CA, United States

Wick, Micheal M., Woodside, CA, United States

PA Telik, Inc., South San Francisco, CA, United States (U.S. corporation)

PI US 6337338 B1 20020108

AI US 1999-464360 19991215 (9)

PRAI US 1998-112513P 19981215 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Rotman, Alan L.; Assistant Examiner: Desai, Rita

LREP Heller Ehrman White & McAuliffe LLP

CLMN Number of Claims: 22

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 3 Drawing Page(s)

LN.CNT 983

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods for treating diseases associated with the activity of the insulin growth factor-1 receptor (IGF-1R), such as cancer, are provided. Methods for inhibiting cell growth and proliferation, especially of tumor cells, and promoting apoptosis are also provided. Each of these methods employs the use of a heteroaryl-aryl urea compound as an antagonist for IGF-1R.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

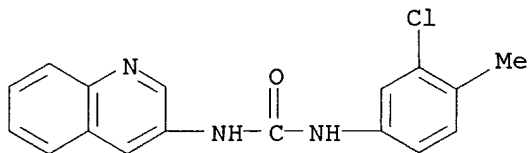
IT 275800-92-5P 275800-94-7P

(prepn. of heteroaryl-aryl ureas as IGF-1 receptor antagonists)

RN 275800-92-5 USPATFULL

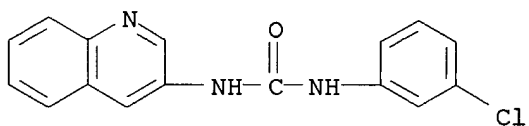
09/838,286

CN Urea, N-(3-chloro-4-methylphenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 275800-94-7 USPATFULL

CN Urea, N-(3-chlorophenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)



L23 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 2000:420965 CAPLUS

DN 133:43512

TI Preparation of heteroaryl-aryl ureas as IGF-1 receptor antagonists

IN Kozlowski, Michael R.; Lum, Robert T.; Schow, Steven R.; Villar, Hugo O.; Wick, Michael M.

PA Telik, Inc., USA

SO PCT Int. Appl., 49 pp.

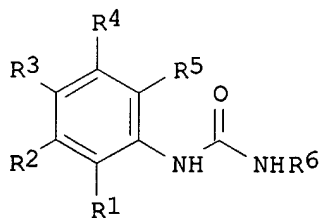
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000035455	A1	20000622	WO 1999-US30300	19991215
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6337338	B1	20020108	US 1999-464360	19991215
PRAI	US 1998-112513P	P	19981215		
OS	MARPAT 133:43512				
GI					



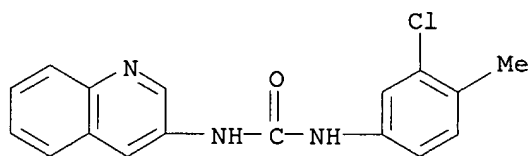
I

AB The title compds. I [R1-R5 = H, alkyl, OH, alkoxy, etc.; R6 = heterocyclic residue], antagonists for IGF-1R, were prepd. E.g., N-(3-chloro-4-methylphenyl)-N'-(2-methyl-4-quinolinyl)urea was prepd.

IT **275800-92-5P 275800-94-7P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of heteroaryl-aryl ureas as IGF-1 receptor antagonists)

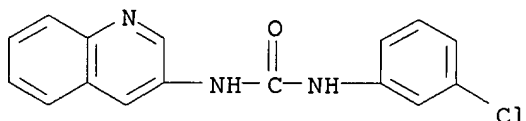
RN 275800-92-5 CAPLUS

CN Urea, N-(3-chloro-4-methylphenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 275800-94-7 CAPLUS

CN Urea, N-(3-chlorophenyl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 21 USPATFULL

AN 2000:168026 USPATFULL

TI Bicyclic aryl or a bicyclic heterocyclic ring containing compounds having a combined 5HT.sub.1A, 5HT.sub.1B and 5HT.sub.1D receptor antagonistic activity

IN Gaster, Laramie Mary, Bishop's Stortford, United Kingdom  
 Wyman, Paul Adrian, Epping, United Kingdom

PA SmithKline Beecham p.l.c., Brentford, United Kingdom (non-U.S. corporation)

PI US 6159979 20001212  
 WO 9847885 19981029

AI US 1999-403149 19991015 (9)  
 WO 1998-EP2265 19980414  
 19991015 PCT 371 date  
 19991015 PCT 102(e) date

PRAI GB 1997-7876 19970418  
 GB 1998-1635 19980126

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker B.

LREP Simon, Soma G., King, William T., Kinzig, Charles M.

CLMN Number of Claims: 13

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1262

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

09/838,286

AB Novel bicyclic aryl/bicyclic heterocyclic ring containing compounds having a combined 5HT.sub.1A, 5HT.sub.1B and 5HT.sub.1D receptor antagonistic activity are provided.

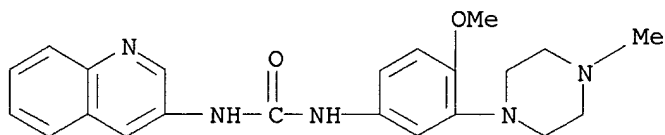
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **215162-69-9P**

(prepn. of bicyclic aryl or bicyclic heterocyclic ring contg.  
(4-methylpiperazin-1-yl)phenyl compds. having a combined 5HT<sub>1A</sub>, 5HT<sub>1B</sub>  
and 5HT<sub>1D</sub> receptor antagonistic activity)

RN 215162-69-9 USPATFULL

CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-3-quinolinyl-  
(9CI) (CA INDEX NAME)



L23 ANSWER 10 OF 21 USPATFULL

AN 2000:146414 USPATFULL

TI Naphthols useful in antiviral methods

IN Kenyon, George L., San Francisco, CA, United States

Stauber, Margaret, Germantown, MD, United States

Maurer, Karl, Ross, CA, United States

Eargle, Dolan, San Francisco, CA, United States

Muscate, Angelika, Loerrach, Germany, Federal Republic of

Leavitt, Andrew, San Francisco, CA, United States

Roe, Diana C., Newark, CA, United States

Ewing, Todd J. A., San Francisco, CA, United States

Skillman, Jr., Allan G., San Francisco, CA, United States

Arnold, Edward, Belle Mead, NJ, United States

Kuntz, Irwin D., Greenbrae, CA, United States

Young, Malin, San Francisco, CA, United States

PA The Regents of the University of California, Oakland, CA, United States  
(U.S. corporation)

Rutgers, The University of New Jersey, New Brunswick, NJ, United States  
(U.S. corporation)

PI US 6140368 20001031

AI US 1998-72484 19980504 (9)

PRAI US 1997-45583P 19970505 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Geist, Gary; Assistant Examiner: Cane, L. Eric

LREP Majestic, Parsons, Siebert & Hsue P.C.

CLMN Number of Claims: 13

ECL Exemplary Claim: 1,4,5

DRWN 2 Drawing Figure(s); 1 Drawing Page(s)

LN.CNT 1538

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel class of compounds that are potent inhibitors of HIV reverse transcriptase and HIV integrase. In addition to being multienzyme inhibitors, the inventive compounds of the present invention are remarkable in at least two other respects. First, they do not appear to be toxic to cells at typical therapeutic concentrations. Second, they appear to be equally effective against mutant strains of HIV reverse transcriptase commonly found in patients

09/838,286

who have developed resistance to current reverse transcriptase inhibitors. Because the inventive compounds show promise in combatting viral resistance and are potent inhibitors of both HIV reverse transcriptase and integrase, they are ideal candidates for use in combination with existing therapies or alone in treating AIDS or HIV infection.

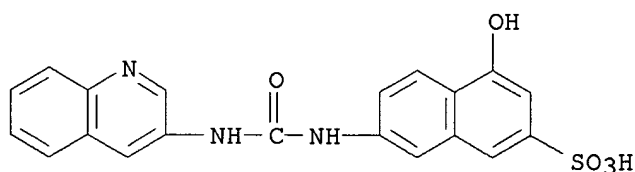
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 207974-42-3

(prepn. of N,N'-bis(hydroxysulfonaphthyl)ureas and analogs as HIV reverse transcriptase and integrase inhibitors)

RN 207974-42-3 USPATFULL

CN 2-Naphthalenesulfonic acid, 4-hydroxy-7-[[[(3-quinolinylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



L23 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1999:404951 CAPLUS

DN 131:58850

TI Preparation of quinolinepiperazine and quinolinepiperidine derivatives and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists

IN Gaster, Laramie Mary

PA Smithkline Beecham Plc, UK

SO PCT Int. Appl., 60 pp.

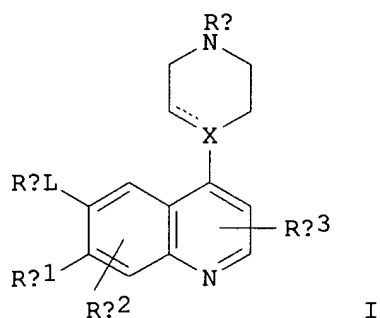
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 9931086	A1	19990624	WO 1998-EP7804	19981202
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2313125	AA	19990624	CA 1998-2313125	19981202
	EP 1047691	A1	20001102	EP 1998-965729	19981202
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2002508366	T2	20020319	JP 2000-539010	19981202
PRAI	GB 1997-26364	A	19971212		
	GB 1997-26905	A	19971219		
	GB 1998-317	A	19980107		
	WO 1998-EP7804	W	19981202		
OS	MARPAT 131:58850				
GI					



AB The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl, etc.; L = YC(O)DG, C(O)DG, DGC(O) in which Y is -NH-, NR5 where R5 is C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G together with Rb1 forms a group W where W is (CR16R17)t where t is 2, 3 or 4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is (CR16R17)u-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17, CR16:N, :CR16O, :CR16S or :CR16NR17 provided that u is not 0 when J is oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were prepd. E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4-yl)naphth-1-yl]urea was prepd. Some examples of I had pKi values > 8.5 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

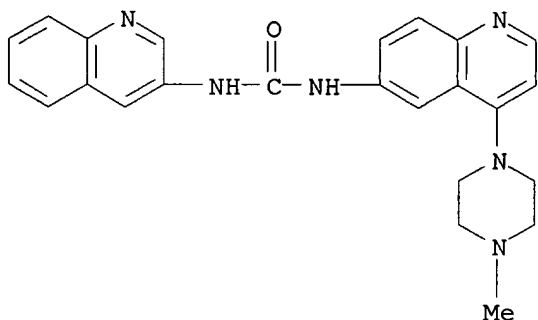
IT **227955-99-9p**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolinepiperazine and quinolinepiperidine derivs. and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 227955-99-9 CAPLUS

CN Urea, N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-N'-3-quinolinyl- (9CI)  
(CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 21 USPATFULL

AN 1999:121357 USPATFULL

TI Certain substituted benzylamine derivatives a new class of neuroptide Y1 specific ligands



09/838,286

IN Blum, Charles A., Guilford, CT, United States  
Hutchison, Alan, Madison, CT, United States  
Peterson, John M., New Haven, CT, United States  
PA Neurogen Corporation, Branford, CT, United States (U.S. corporation)  
PI US 5962455 19991005  
AI US 1997-897045 19970718 (8)  
PRAI US 1996-22296P 19960723 (60)  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Bernhardt, Emily  
LREP Ladas & Parry  
CLMN Number of Claims: 11  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 669

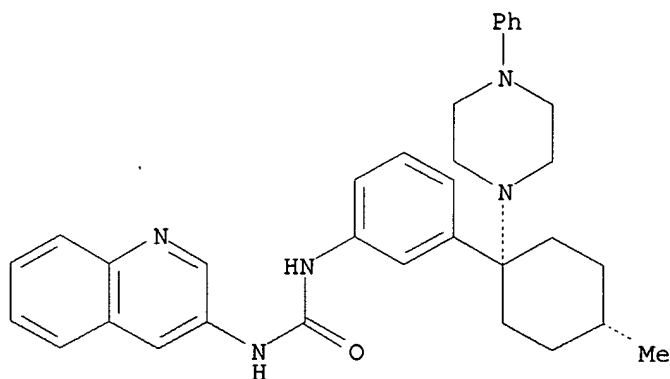
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention encompasses compounds of the formula ##STR1## and the pharmaceutically acceptable salts thereof wherein X.sub.1, X.sub.2, X.sub.3 represent organic or inorganic substituents, n is 1, 2, or 3, m is 2, 3, or 4, R.sub.1 -R.sub.4 are hydrogen or organic substituents, and B is nitrogen, carbon, sulfur or oxygen, useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compounds to mammalian Neuropeptide Y1 receptors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 202472-67-1P 202472-73-9P 202472-74-0P  
(prepn. of certain substituted benzylamine derivs. such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands)  
RN 202472-67-1 USPATFULL  
CN Urea, N-[3-[4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

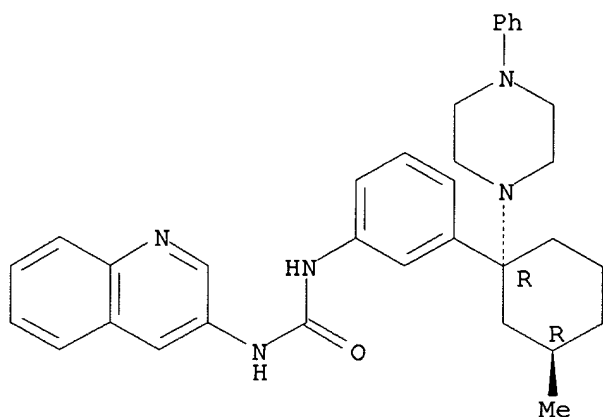


●3 HCl-

RN 202472-73-9 USPATFULL  
CN Urea, N-[3-[3-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, trans- (9CI) (CA INDEX NAME)

09/838,286

Relative stereochemistry.

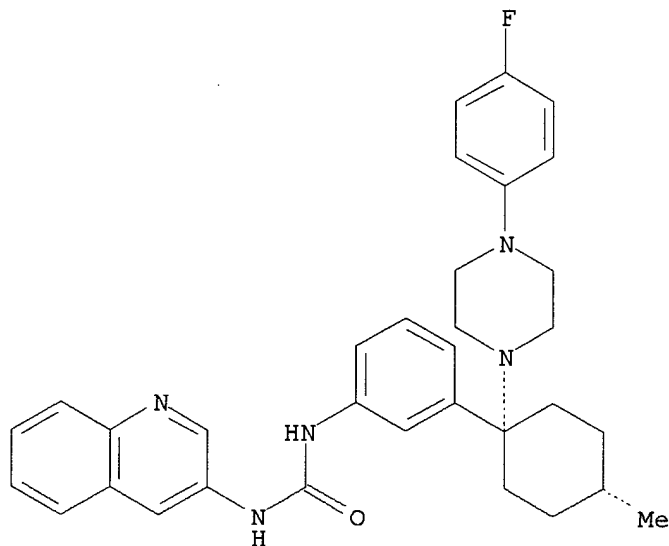


●3 HCl

RN 202472-74-0 USPTAFULL  
CN Urea, N-[3-[1-[4-(4-fluorophenyl)-1-piperazinyl]-4-methylcyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, cis- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



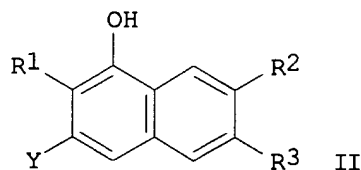
PAGE 2-A

3 HCl

09/838,286

DN 130:13851  
TI Preparation of N,N'-bis(hydroxysulfonaphthyl)ureas and analogs as HIV  
reverse transcriptase and integrase inhibitors  
IN Kenyon, George L.; Stauber, Margaret J.; Maurer, Karl; Eargle, Dolan;  
Muscate, Angelika; Leavitt, Andrew; Roe, Diana C.; Ewing, Todd J. A.;  
Skillman, Allan G., Jr.; Arnold, Edward; Kuntz, Irwin D.; Young, Malin  
PA The Regents of the University of California, USA  
SO PCT Int. Appl., 89 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850347	A1	19981112	WO 1998-US8815	19980504
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9872735	A1	19981127	AU 1998-72735	19980504
	US 6140368	A	20001031	US 1998-72484	19980504
PRAI	US 1997-45583P	P	19970505		
	US 1998-72484	A	19980504		
	WO 1998-US8815	W	19980504		
OS	MARPAT 130:13851				
GI					



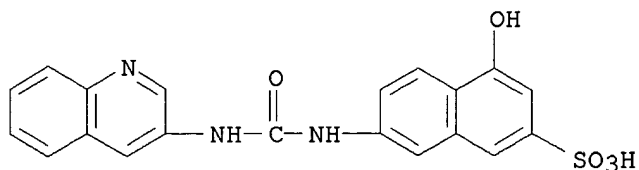
AB RXR [I; R = hydroxynaphthyl group II; R1 substituents may be the same or different and = (un)substituted aryl or (un)substituted heteroaryl bound via an azo or amide group (sic); 1 of R2,R3 = H and the other = bond; Y substituents may be the same or different and = sulfonic, carboxylic, tetrazol, or esters thereof (sic); X is a substantially rigid linker bonded via amide or amide analogous bonds (sic)] were prepd. Thus, pyridine-2,6-dicarboxylic acid was bisamidated by 7-amino-4-hydroxynaphthalene-2-sulfonic acid and the product coupled with the diazonium salt prepd. from 4-(H2N)C6H4CO2H to give RNHCOZCONHR [R = hydroxynaphthyl group II, R1 = N:NC6H4(CO2H)-4, R2 = H, R3 = bond, Y = SO2H, Z = pyridine-2,6-diyl] monosodium salt. Data for biol. activity of I were given.

IT **207974-42-3**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(prepn. of N,N'-bis(hydroxysulfonaphthyl)ureas and analogs as HIV reverse transcriptase and integrase inhibitors)

RN 207974-42-3 CAPLUS

CN 2-Naphthalenesulfonic acid, 4-hydroxy-7-[[ (3-quinolinylamino)carbonyl]amin

o]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1998:709065 CAPLUS

DN 129:330740

TI Preparation of bicyclic aryl or bicyclic heterocyclic ring containing (4-methylpiperazin-1-yl)phenyl compounds having a combined 5HT<sub>1A</sub>, 5HT<sub>1B</sub> and 5HT<sub>1D</sub> receptor antagonistic activity

IN Gaster, Laramie Mary; Wyman, Paul Adrian

PA Smithkline Beecham PLC, UK

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9847885	A1	19981029	WO 1998-EP2265	19980414
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 975614	A1	20000202	EP 1998-919278	19980414
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2001526643	T2	20011218	JP 1998-544988	19980414
	US 6159979	A	20001212	US 1999-403149	19991015
PRAI	GB 1997-7876	A	19970418		
	GB 1998-1635	A	19980126		
	WO 1998-EP2265	W	19980414		
OS	MARPAT 129:330740				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R<sub>1</sub> = II, III (P<sub>1</sub> = bicyclic aryl, bicyclic heterocyclic ring contg. 1-3 heteroatoms selected from O, N and S; P<sub>2</sub>, P<sub>3</sub> = Ph, bicyclic aryl, 5-7 membered heterocyclic ring contg. 1-3 heteroatoms selected from O, N and S, or bicyclic heterocyclic group contg. 1-3 heteroatoms selected from O, N or S, providing that at least one of P<sub>2</sub> and P<sub>3</sub> = bicyclic aryl or bicyclic heterocyclic group; R<sub>11</sub> = H, halo, C<sub>1</sub>-6 alkyl, etc.; R<sub>12</sub>, R<sub>13</sub> = H, halo, C<sub>1</sub>-6 alkyl, etc.; a, b = 1-3; A = a bond, O, CH<sub>2</sub>, etc.); L = C(V)DG, DGC(V), YC(V)DG<sub>1</sub>; V = O, S; D = N, C, CH; G and G<sub>1</sub> = H, C<sub>1</sub>-6 alkyl; Y = NH, NR<sub>5</sub> (wherein R<sub>5</sub> = C<sub>1</sub>-6 alkyl), CH<sub>2</sub>, O; X = N, C; R<sub>2</sub>, R<sub>3</sub> = H, halo, OH, etc.; R<sub>4</sub> = H, C<sub>1</sub>-6 alkyl], useful as CNS agents, were prepd. Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in the presence of Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> followed by the addn. of a

09/838,286

soln. of 4-chloro-3-(4-methylpiperazin-1-yl)aniline in CH<sub>2</sub>Cl<sub>2</sub> afforded 27% IV which showed pK<sub>i</sub> of > 8.0 at 5-HT<sub>1A</sub>, 5-HT<sub>1B</sub> and 5HT<sub>1D</sub> receptors.

IT 215162-69-9P

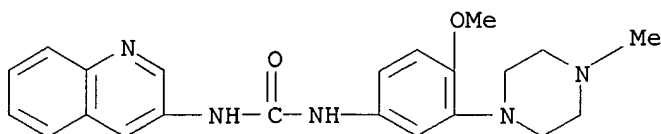
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bicyclic aryl or bicyclic heterocyclic ring contg.

(4-methylpiperazin-1-yl)phenyl compds. having a combined 5HT<sub>1A</sub>, 5HT<sub>1B</sub> and 5HT<sub>1D</sub> receptor antagonistic activity)

RN 215162-69-9 CAPLUS

CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-3-quinolinyl-(9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1998:87719 CAPLUS

DN 128:154097

TI Preparation of certain substituted benzylamine derivatives such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y<sub>1</sub> specific ligands

IN Blum, Charles A.; Hutchison, Alan; Peterson, John M.

PA Neurogen Corp., USA

SO PCT Int. Appl., 30 pp.

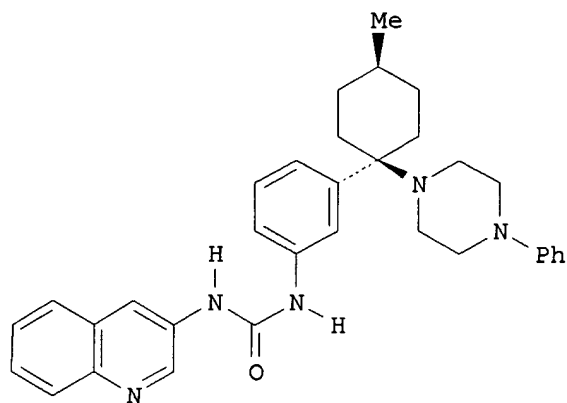
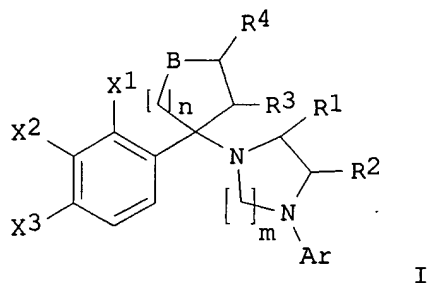
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 9803492	A1	19980129	WO 1997-US12614	19970718
	W: CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 915859	A1	19990519	EP 1997-934217	19970718
	EP 915859	B1	20030102		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 5962455	A	19991005	US 1997-897045	19970718
	JP 2000515150	T2	20001114	JP 1998-507101	19970718
	AT 230403	E	20030115	AT 1997-934217	19970718
	MX 9900870	A	20000331	MX 1999-870	19990122
PRAI	US 1996-22296P	P	19960723		
	WO 1997-US12614	W	19970718		
OS	MARPAT 128:154097				
GI					



AB The title compds. [I; one of X1, X2 and X3 = -N(Ro)C(O)N(Rp)Y and the remaining X1, X2 and X3 = H; Y = (un)substituted Ph, pyridyl, naphthyl, etc.; Ro, Rp = H, C1-6 alkyl, etc.; RoRp = (CH2)n; n = 1-3; Ar = (un)substituted Ph, pyridyl, thienyl, pyrimidyl; B = S, O, N(R5), C(R5)(R6); n = 1-3; m = 2-4; R1, R2 = H, C1-6 alkyl; R3, R4 = H, C1-6 alkyl, C1-6 alkoxy; R5 = C1-6 alkyl, Ph, pyridyl; R6 = H, OH, NH2, etc.], useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compds. to mammalian neuropeptide Y1 receptors, were prepd. Thus, treatment of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane (prepn. described) with phosgene in the presence of Et3N in CH2Cl2 followed by addn. of 3-aminoquinoline afforded the title compd. cis-II. Compds. I are effective at 0.1-140 mg/kg/day.

IT **202472-67-1P 202472-73-9P 202472-74-0P**

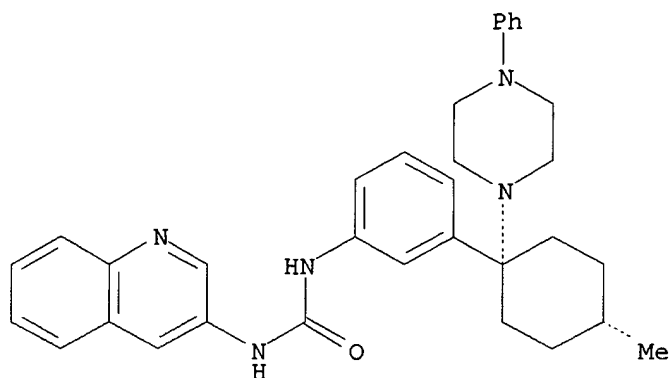
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of certain substituted benzylamine derivs. such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands)

RN 202472-67-1 CAPLUS

CN Urea, N-[3-[4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/838,286

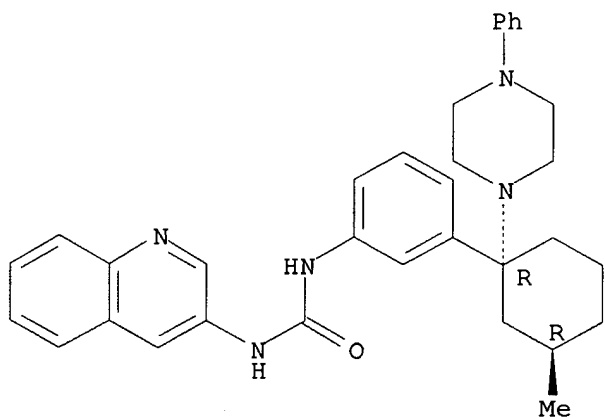


●3 HCl

RN 202472-73-9 CAPLUS

CN Urea, N-[3-[3-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

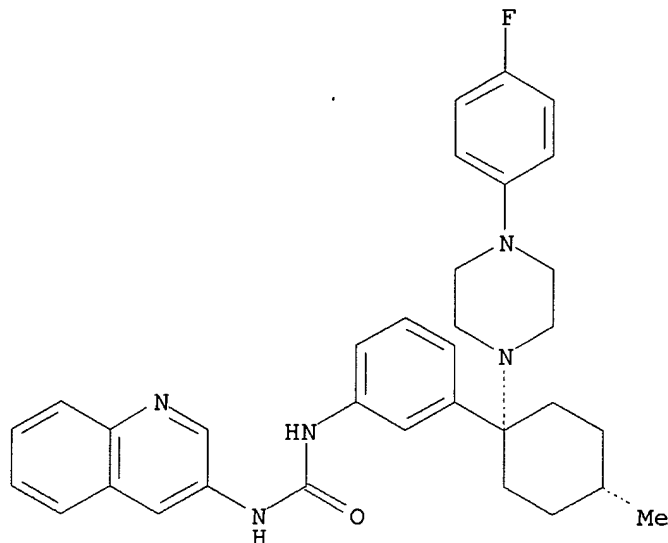


●3 HCl

RN 202472-74-0 CAPLUS

CN Urea, N-[3-[1-[4-(4-fluorophenyl)-1-piperazinyl]-4-methylcyclohexyl]phenyl]-N'-3-quinolinyl-, trihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

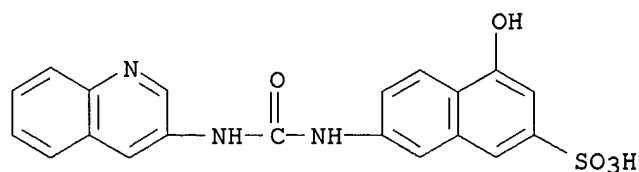


● 3 HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS  
AN 1998:273579 CAPLUS  
DN 129:27804  
TI Solid support-bound synthesis of polyfunctional unsymmetrical ureas  
AU Maurer, Karl W.; Kenyon, George L.  
CS Department of Pharmaceutical Chemistry, University of California, San Francisco, CA, 94143-0446, USA  
SO Bioorganic Chemistry (1997), 25(5/6), 277-281  
CODEN: BOCMBM; ISSN: 0045-2068  
PB Academic Press  
DT Journal  
LA English  
OS CASREACT 129:27804  
AB Solid support-bound chem. has been used to gain access to several polyfunctional ureas which could not be easily produced via traditional soln. phase approaches.  
IT **207974-42-3P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid support-bound prepn. of polyfunctional unsym. ureas)  
RN 207974-42-3 CAPLUS  
CN 2-Naphthalenesulfonic acid, 4-hydroxy-7-[[[(3-quinolinylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)





RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 17 OF 21 USPATFULL

AN 96:31837 USPATFULL

TI Indole derivatives as 5HT.sub.1C antagonists

IN Forbes, Ian T., Stevenage, England

Martin, Roger T., Ware, England

Jones, Graham E., Hertford, England

PA SmithKline Beecham, p.l.c., United Kingdom (non-U.S. corporation)

PI US 5508288 19960416

WO 9318028 19930916

AI US 1994-295694 19940830 (8)

WO 1993-GB449 19930304

19940830 PCT 371 date

19940830 PCT 102(e) date

PRAI GB 1992-5415 19920312

GB 1992-5416 19920312

GB 1992-5422 19920312

GB 1992-5442 19920312

DT Utility

FS Granted

EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Huang, Evelyn

LREP Hall, Linda E., Suter, Stuart R., Lentz, Edward T.

CLMN Number of Claims: 9

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 896

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula (I) or a salt thereof: ##STR1## Wherein: P represents a quinoline or isoquinoline residue; R.sub.1 is hydrogen or C.sub.1-6 alkyl; R.sub.2, R.sub.3, R.sub.10, R.sub.11 are independently hydrogen

R.sub.1 is hydrogen or C.sub.1-6 alkyl; R.sub.2, R.sub.3, R.sub.10, R.sub.11 are independently hydrogen or C.sub.1-6 alkyl, or R.sub.10 and R.sub.11 together form a bond, or R.sub.2 and R.sub.10 or R.sub.3 and R.sub.11 together form a C.sub.2-6 alkylene chain. R.sub.4 is hydrogen, C.sub.1-6 alkyl, halogen, NR.sub.8 R.sub.9, OR.sub.12 or COOR.sub.12, where R.sub.8 R.sub.9 and R.sub.12 are independently hydrogen or C.sub.1-6 alkyl; R.sub.5 and R.sub.6 are independently hydrogen or C.sub.1-6 alkyl; and R.sub.7 is hydrogen, C.sub.1-6 alkyl, C.sub.1-6 alkoxy or halogen; and wherein the urea moiety is attached at the 4-, 5- or 6-position of the indoline ring, which has been found to have 5HT.sub.1c receptor antagonist activity.

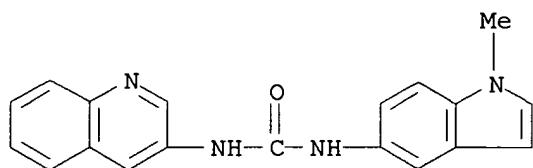
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 152239-50-4P 152239-51-5P

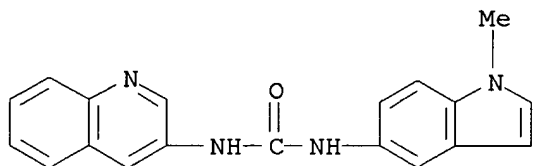
(prepn. of, as 5-HT1c antagonists)

RN 152239-50-4 USPATFULL

CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 152239-51-5 USPATFULL

CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl-, monohydrochloride (9CI)  
(CA INDEX NAME)

● HCl

L23 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1994:655671 CAPLUS

DN 121:255671

TI Preparation of N-phenyl-N'-heteroarylureas as 5HT2C receptor antagonists

IN Forbes, Ian Thomson; Ham, Peter; Martin, Roger Thomas; Thompson, Mervyn

PA SmithKline Beecham PLC, UK

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

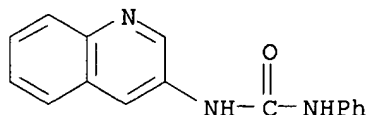
DT Patent

LA English

FAN.CNT 1

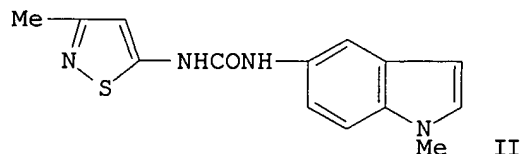
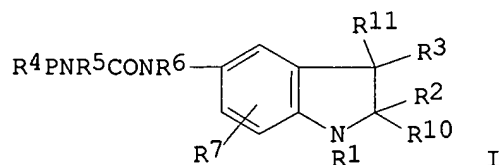
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9418170	A1	19940818	WO 1994-EP189	19940125
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 682656	A1	19951122	EP 1994-905697	19940125
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 08506114	T2	19960702	JP 1994-517583	19940125
PRAI	GB 1993-2275		19930205		
	WO 1994-EP189		19940125		
OS	MARPAT 121:255671				
AB	R1NR2CONR3R4 [R1 = (un)substituted (iso)quinolinyl, -heteroaryl; R2,R3 = H, alkyl; R4 = (un)substituted Ph] were prepd. Thus, nicotinoyl azide was refluxed in PhMe after which 3,4-ClMeC6H3NH2 was added to give, after acidification, 3,4-ClMeC6H3NHCONHR1.HCl (R1 = 3-pyridyl) which had ID50 of 78mg/kg orally against mCPP-induced hypolocomotion in rats.				
IT	<b>68435-54-1P</b>				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of N-phenyl-N'-heteroarylureas as 5HT2C receptor antagonists)				
RN	68435-54-1 CAPLUS				

CN    Urea, N-phenyl-N'-3-quinolinyl- (9CI)    (CA INDEX NAME)



FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9318028	A1	19930916	WO 1993-GB449	19930304
	W: AU, CA, JP, KR, NZ, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9336411	A1	19931005	AU 1993-36411	19930304
	EP 630373	A1	19941228	EP 1993-905507	19930304
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 07504429	T2	19950518	JP 1993-515449	19930304
	ZA 9301713	A	19940922	ZA 1993-1713	19930310
	US 5508288	A	19960416	US 1994-295694	19940830
PRAI	GB 1992-5415		19920312		
	GB 1992-5416		19920312		
	GB 1992-5422		19920312		
	GB 1992-5442		19920312		
	WO 1993-GB449		19930304		
OS	MARPAT 120:77171				
GI					



AB Title compds. I (P = quinolinyl, isoquinolinyl, 5,6-membered heterocyclcyl;  
R1 = H, C1-6 alkyl; R2, R3, R10, R11 = C2-6 alkylene; R4 = H, C1-6 alkyl,  
halo, R8R9N, R12O, R12O2C wherein R8, R9, R12 = H, C1-6 alkyl; R5, R6 = H,  
C1-6 alkyl; R7 = H, C1-6 alkyl, C1-6 alkoxy, halo; etc.) or a salt

09/838,286

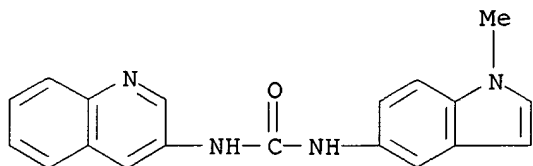
thereof, are prepd. to NaH was added 5-amino-3-methylbisthiazole-HCl followed by N-(1-methyl-5-indolyl)carbamate (prepn. given) to give the title compd. II. The affinity of II for 5-HT1C binding site by assessing its ability to displace [3H]-mesulergine from 5-HT1C binding sites was shown by pA2 as 7.9.

IT 152239-50-4P 152239-51-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as 5-HT1c antagonists)

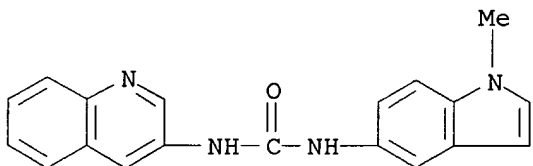
RN 152239-50-4 CAPLUS

CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 152239-51-5 CAPLUS

CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-3-quinolinyl-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

L23 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1992:607160 CAPLUS

DN 117:207160

TI Preparation of urea derivatives as preventive agrochemical pesticides.

IN Aman, Shunji; Watanabe, Hiroyuki; Tsuzuki, Kenji; Takematsu, Tetsuo

PA Tosoh Corp., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

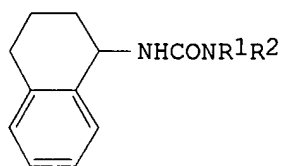
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04178362	A2	19920625	JP 1990-303903	19901113
PRAI	JP 1990-303903		19901113		
OS	MARPAT 117:207160				
GI					



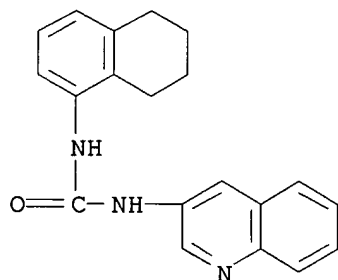
AB Urea derivs. I [R1 = H, lower alkyl, lower alkoxy; R2 = lower alkyl, lower alkenyl, 4-morpholinyl, (lower alkyl-, lower alkoxy-, halo-substituted) Ph, five-membered heterocyclyl, etc.] are prepd. as preventive agrochem. insecticides, acaricides and microbicides. Thus, 0.47 g 4-amino-2-methylquinoline in C6H6-DMF was mixed with 0.52 g 1,2,3,4-tetrahydro-1-naphthylisocyanate, and refluxed overnight to give 0.46 g 3-(1,2,3,4-tetrahydro-1-naphthyl)-1-(2-methyl-4-quinolyl)urea (II). II, at 600 ppm, showed good preventive activity against tomato late blight. Formulation examples are given.

IT **144331-78-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as preventive agrochem. pesticide)

RN 144331-78-2 CAPLUS

CN Urea, N-3-quinolinyl-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



L23 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1979:6219 CAPLUS

DN 90:6219

TI Fungicidal activity of some quinoline derivatives

AU Dregval, G. F.; Andreeva, E. I.; Verbovskaya, T. M.; Smirnova, K. F.; Pronchenko, T. S.

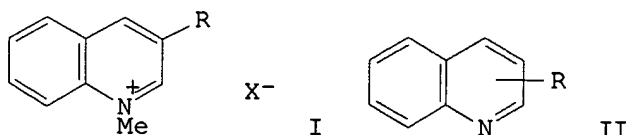
CS Vses. Nauchno-Issled. Inst. Gig. Toksikol. Pestits., Polim. Plast. Mass, Kiev, USSR

SO Fiziologicheskii Aktivnye Veshchestva (1978), 10, 92-5  
CODEN: FAVUAI; ISSN: 0533-1153

DT Journal

LA Russian

GI



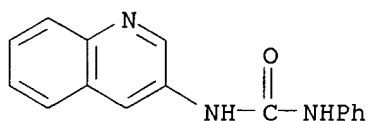
09/838,286

AB Seven quinolinium salts I [R = NHAc, N(ICl<sub>2</sub>)Cl, NHCONHPh, NH(ICl<sub>2</sub>)COPh; X = Cl, I, ICl<sub>2</sub>, Cl, IBr<sub>2</sub>] were prepd. in 71-99% yield. Reaction of I (R = NHAc, X = I) with Cl gave 98% I (R = NAcICl<sub>2</sub>, X = Cl). I and II (R = 3-NHAc, 3-NAcICl<sub>2</sub>, 3- and 2-NHCONHPh), were tested against various fungi, e.g., Fus. moniliff, Bot. cinerea, Vert. dahlial, and Asp. niger. Introduction of ICl<sub>2</sub> into the mol. caused an increase in fungicidal activity; when X = IBr<sub>2</sub> or ICl<sub>2</sub> the fungicidal activity of I increased.

IT **68435-54-1 68435-55-2**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(fungicidal activity of)

RN 68435-54-1 CAPLUS

CN Urea, N-phenyl-N'-3-quinolinyl- (9CI) (CA INDEX NAME)

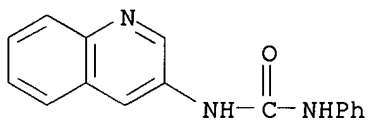


RN 68435-55-2 CAPLUS

CN Urea, N-phenyl-N'-3-quinolinyl-, compd. with iodine chloride (ICl<sub>3</sub>) (1:2)  
(9CI) (CA INDEX NAME)

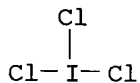
CM 1

CRN 68435-54-1  
CMF C16 H13 N3 O



CM 2

CRN 865-44-1  
CMF C13 I

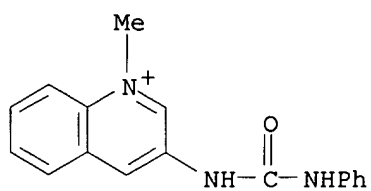


IT **68435-48-3P 68435-50-7P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and fungicidal activity of)

RN 68435-48-3 CAPLUS

CN Quinolinium, 1-methyl-3-[[ (phenylamino) carbonyl] amino]-, iodide (9CI) (CA INDEX NAME)

09/838,286



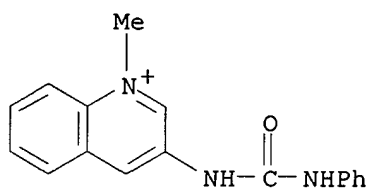
RN 68435-50-7 CAPLUS

CN Quinolinium, 1-methyl-3-[[[(phenylamino)carbonyl]amino]-,  
dichloroiodate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 68435-49-4

CMF C17 H16 N3 O



CM 2

CRN 14522-79-3

CMF C12 I

Cl-I<sup>-</sup>-Cl